

5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15

exact bonds :

1-17 4-16 8-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 10 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

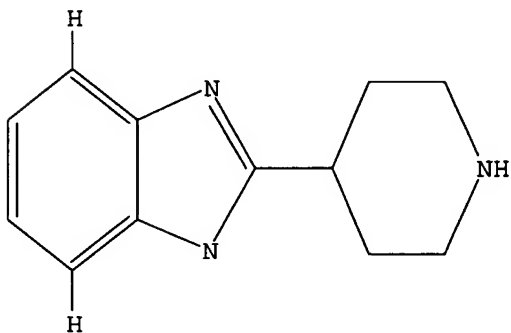
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=&gt; d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=&gt; s l1

SAMPLE SEARCH INITIATED 14:01:19 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 601 TO ITERATE

100.0% PROCESSED 601 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 10550 TO 13490

PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=&gt; s l1 sss full

FULL SEARCH INITIATED 14:01:31 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 12301 TO ITERATE

100.0% PROCESSED 12301 ITERATIONS

182 ANSWERS

SEARCH TIME: 00.00.01

L3 182 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 14:01:39 ON 13 JAN 2006

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FILE COVERS 1907 - 13 Jan 2006 VOL 144 ISS 4

FILE LAST UPDATED: 12 Jan 2006 (20060112/ED)

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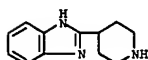
<http://www.cas.org/infopolicy.html>

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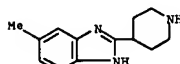
L4 61 L3

=&gt; d ibib abs hitstr tot

L4 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:86368 CAPLUS  
 DOCUMENT NUMBER: 142:211437  
 TITLE: Discovery of 2,3,5-trisubstituted pyridine derivatives as potent Akt1 and Akt2 dual inhibitors  
 AUTHOR(S): Zhao, Zhijian; Leister, William H.; Robinson, Ronald G.; Barnett, Stanley F.; Defeo-Jones, Deborah; Jones, Raymond E.; Hartman, George D.; Huff, Joel R.; Huber, Hans E.; Duggan, Mark E.; Lindsley, Craig W.  
 CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck Research Laboratories, Merck & Co., West Point, PA, 19486, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(4), 905-909  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 142:211437  
 AB This letter describes the discovery of a novel series of dual Akt1/Akt2 kinase inhibitors, based on a 2,3,5-trisubstituted pyridine scaffold. Comps. from this series, which contain a 5-tetrazolyl moiety, exhibit more potent inhibition of Akt2 than Akt1.  
 IT 38385-95-4 295790-48-6 295790-49-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 2,3,5-trisubstituted pyridine derivs. as potent Akt1/Akt2 dual inhibitors)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-48-6 CAPLUS  
 CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



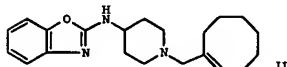
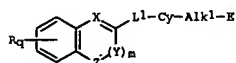
RN 295790-49-7 CAPLUS  
 CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:29330 CAPLUS  
 DOCUMENT NUMBER: 142:134613  
 TITLE: Preparation of (benzothiazolylamino)piperidine derivatives as CXCR3 receptor modulators  
 INVENTOR(S): Owen, David Alan; Watson, Robert John; Meissner, Johannes Wilhelm Georg; Allen, Daniel Rees  
 PATENT ASSIGNEE(S): Celltech R & D Limited, UK  
 SOURCE: PCT Int. Appl., 62 pp.  
 CODEN: PIXKD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003127	A1	20050113	WO 2004-GB2735	20040625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, EG, KE, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-15203 A 20030628  
 OTHER SOURCE(S): MARPAT 142:134613  
 GI

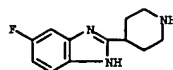


AB Title compds. represented by the formula I [wherein R = L2-Alk2-L3(R5)n; L2, L3 = independently covalent bond or a linker or group; n = 1-3, Alk2 = (un)substituted (hetero)aliphatic chain; R5 = H, halo, OH, alkyl, alkoxy; q = 0-3; X, Y = N or CR; m = 0 or 1; L1 = absent, O or (un)substituted amino; Cy = (un)substituted piperidin-1-yl or piperidinium-1-yl; Alk1 = covalent bond or (un)substituted alkylene chain; E = (un)substituted cycloalkyl, cycloalkenyl or polycycloalkyl group; and the salts, solvates, hydrates, tautomers or N-oxides thereof] were prepared as CXCR3 modulators (no data). For example, II was given in a multi-step synthesis starting from the reaction of tert-Bu piperidin-4-ylcarbamate·HCl with 1-cyclooctenecarboxaldehyde. Thus, I and their pharmaceutical compds. are

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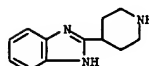
Habte

L4 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 useful as modulators of CXCR3 function for the treatment and/or prevention of conditions involving inappropriate T-cell trafficking, including inflammatory, autoimmune and immunoregulatory disorders (no data).  
 IT 824403-74-9, 4-(Benzimidazol-2-yl)piperidine hydrochloride  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 4-(benzothiazol-2-ylamino)piperidine derivs. as CXCR3 receptor modulators)  
 RN 824403-74-9 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

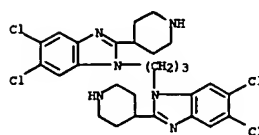
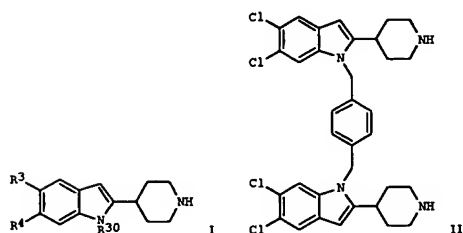


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

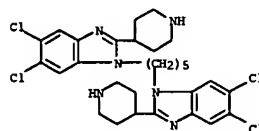
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 AB Title compds., e.g. (I), R3, R4 = H, halo, alkyl, alkoxy, trihaloalkyl, alkoxyalkyl, alkyl, amino, NO2; R30 = alkyl, (substituted) heteroarylalkyl, aralkyl, heteroaryl, etc.), were prepared. Thus, reaction of 2-(N-tert-butoxycarbonylpiperidin-4-yl)-5,6-dichlorobenzimidazole with 1,4-bis(bromomethyl)benzene and NaH in DMF at 0° for 2 h gave 56% protected dimer, which was treated with 4M HCl in dioxane for 2 h at room temperature to give 98% dimer (II). II showed an IC50 = 2-6 µM against *S. aureus*.  
 IT 578708-34-6P 578708-35-7P 578708-36-8P  
 578708-37-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 RN 578708-34-6 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,3-propanediyl)bis[5,6-dichloro-2-(4-piperidinyl)]-(9CI) (CA INDEX NAME)  
 (preparation of piperidinylbenzimidazoles and analogs as antibacterials)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/047769	A2	20040610	WO 2003-US38093	20031126
WO 2004/047769	A3	20040910		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BV, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
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 US 2002-430495P P 20021203  
 OTHER SOURCE(S): MARPAT 141:38614  
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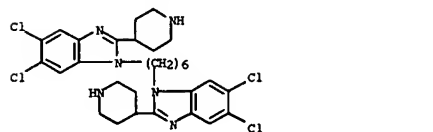


RN 578708-35-7 CAPLUS  
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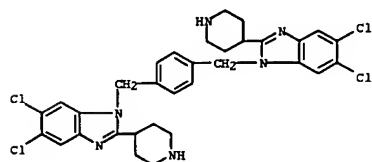


RN 578708-36-8 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,6-hexanedyl)bis[5,6-dichloro-2-(4-piperidinyl)]-(9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



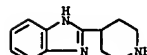
RN 578708-37-9 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,4-phenylenebis(methylene))bis[5,6-dichloro-2-(4-piperidinyl)]-(9CI) (CA INDEX NAME)



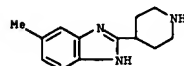
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L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

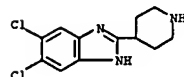
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 702707-21-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)-(9CI) (CA INDEX NAME)



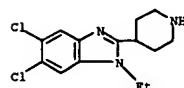
RN 295790-48-6 CAPLUS  
 CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)-(9CI) (CA INDEX NAME)



RN 578708-01-7 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-(9CI) (CA INDEX NAME)

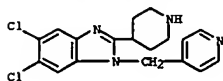


RN 578708-02-8 CAPLUS  
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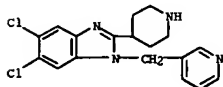


RN 578708-03-9 CAPLUS  
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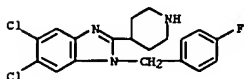
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



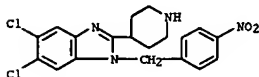
RN 578708-04-0 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-((4-piperidinyl)-1-(3-pyridinyl)methyl)- (9CI) (CA INDEX NAME)



RN 578708-05-1 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-((4-fluorophenyl)methyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



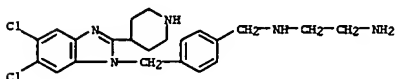
RN 578708-06-2 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-((4-nitrophenyl)methyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



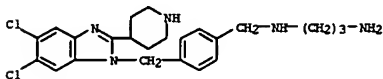
RN 578708-07-3 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-((4-piperidinyl)-1-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

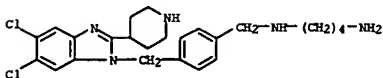
RN 578708-12-0 CAPLUS  
CN 1,2-Ethanediamine, N-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl)- (9CI) (CA INDEX NAME)



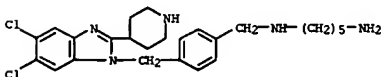
RN 578708-13-1 CAPLUS  
CN 1,3-Propanediamine, N-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl)- (9CI) (CA INDEX NAME)



RN 578708-14-2 CAPLUS  
CN 1,4-Butanediamine, N-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl)- (9CI) (CA INDEX NAME)



RN 578708-15-3 CAPLUS  
CN 1,5-Pentanediamine, N-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl)- (9CI) (CA INDEX NAME)

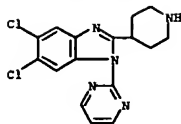


RN 578708-16-4 CAPLUS  
CN 1,6-Hexanediamine, N-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl)- (9CI) (CA INDEX NAME)

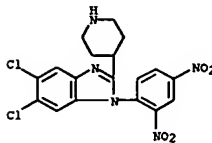
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Habte

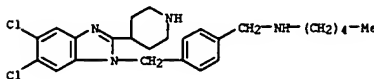
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



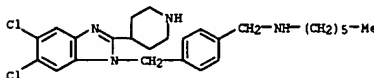
RN 578708-08-4 CAPLUS  
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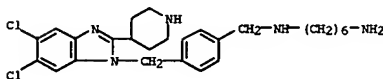
RN 578708-10-8 CAPLUS  
CN Benzenemethanamine, 4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]-N-pentyl- (9CI) (CA INDEX NAME)



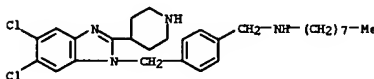
RN 578708-11-9 CAPLUS  
CN Benzenemethanamine, 4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]-N-hexyl- (9CI) (CA INDEX NAME)



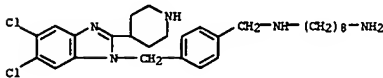
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-17-5 CAPLUS  
CN Benzenemethanamine, 4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]-N-octyl- (9CI) (CA INDEX NAME)

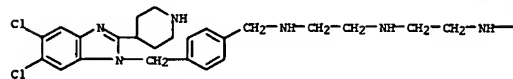


RN 578708-18-6 CAPLUS  
CN 1,8-Octanediamine, N-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl)- (9CI) (CA INDEX NAME)



RN 578708-19-7 CAPLUS  
CN 1,2-Ethanediamine, N'-[2-([4-([5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]amino]ethyl)- (9CI) (CA INDEX NAME)

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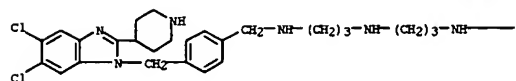
PAGE 1-B

—CH<sub>2</sub>—CH<sub>2</sub>—NH<sub>2</sub>

RN 578708-20-0 CAPLUS  
CN 1,3-Propanediamine, N'-[3-([4-([5,6-dichloro-2-(4-

L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]amino]propyl]-  
(9CI) (CA INDEX NAME)

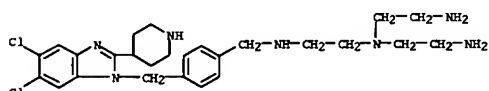
PAGE 1-A



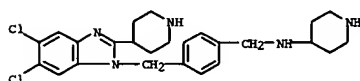
PAGE 1-B

—(CH<sub>2</sub>)<sub>3</sub>—NH<sub>2</sub>

RN 578708-21-1 CAPLUS  
CN 1,2-Ethanediamine, N,N-bis(2-aminoethyl)-N'-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)



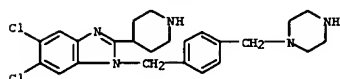
RN 578708-22-2 CAPLUS  
CN 4-Piperidinamine, N-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)



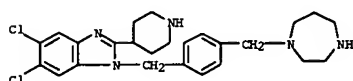
RN 578708-23-3 CAPLUS  
CN 2-Pyrrolidinemethanamine, N-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

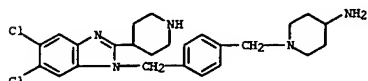
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-28-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[[4-[(hexahydro-1H-1,4-diazepin-1-yl)methyl]phenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



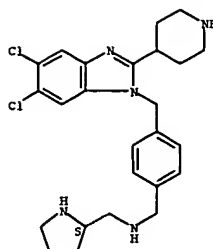
RN 578708-29-9 CAPLUS  
CN 4-Piperidinamine, 1-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)



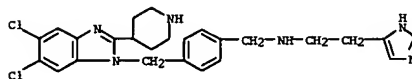
RN 578708-30-2 CAPLUS  
CN D-Galactitol, 1-deoxy-1-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]methylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

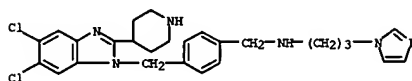
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-24-4 CAPLUS  
CN 1H-Imidazole-4-ethanamine, N-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)

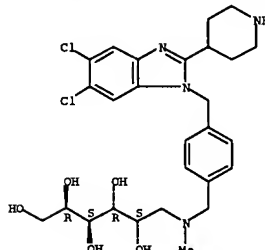


RN 578708-25-5 CAPLUS  
CN 1H-Imidazole-1-propanamine, N-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)

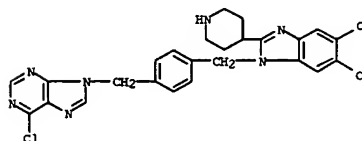


RN 578708-27-7 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[[4-[(1-piperazinyl)methyl]phenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

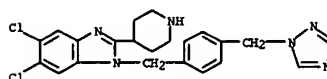
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-31-3 CAPLUS  
CN 9H-Purine, 6-chloro-9-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)

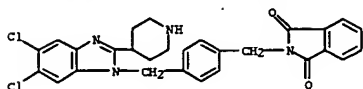


RN 578708-32-4 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[[4-[(1H-1,2,4-triazol-1-yl)methyl]phenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

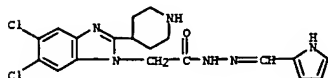


RN 578708-33-5 CAPLUS  
CN 1H-Isindole-1,3(ZH)-dione, 2-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl)methyl]phenyl)methyl]- (9CI) (CA INDEX NAME)

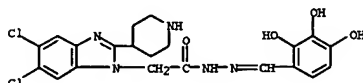
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



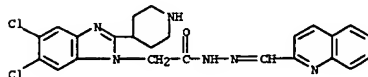
RN 578708-56-2 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(1H-pyrrol-2-ylmethylene)hydrazide] (9CI) (CA INDEX NAME)



RN 578708-57-3 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2,3,4-trihydroxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

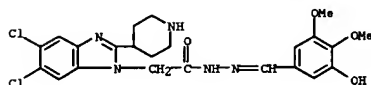


RN 578708-58-4 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (2-quinolinylmethylene)hydrazide (9CI) (CA INDEX NAME)

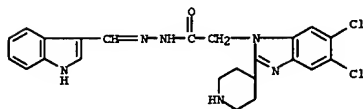


RN 578708-59-5 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-methoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

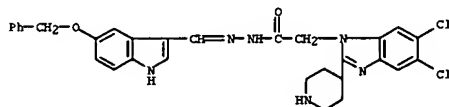
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



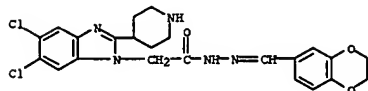
RN 578708-64-2 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(1H-indol-3-ylmethylene)hydrazide] (9CI) (CA INDEX NAME)



RN 578708-65-3 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-(phenylmethoxy)-1H-indol-3-yl)methylene]hydrazide (9CI) (CA INDEX NAME)

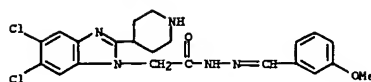


RN 578708-66-4 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]hydrazide (9CI) (CA INDEX NAME)

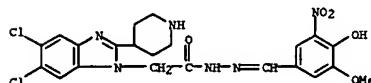


RN 578708-67-5 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-methyl-1H-imidazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)

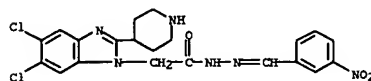
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



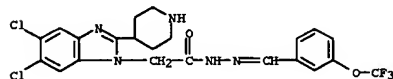
RN 578708-60-8 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(4-hydroxy-3-methoxy-5-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 578708-61-9 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

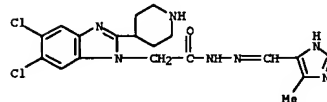


RN 578708-62-0 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-(trifluoromethoxy)phenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

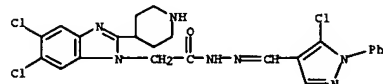


RN 578708-63-1 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-hydroxy-4,5-dimethoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

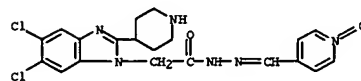
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



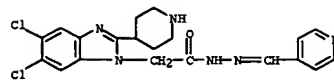
RN 578708-68-6 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-chloro-1-phenyl-1H-pyrazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 578708-69-7 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(1-oxido-4-pyridinyl)methylene]hydrazide (9CI) (CA INDEX NAME)

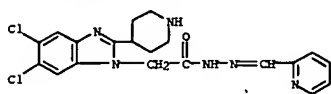


RN 578708-70-0 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(4-pyridinylmethylene)hydrazide] (9CI) (CA INDEX NAME)

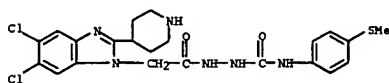


RN 578708-71-1 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2-pyridinylmethylene)hydrazide] (9CI) (CA INDEX NAME)

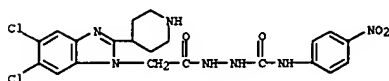
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



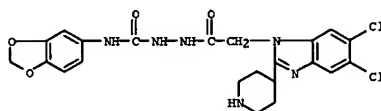
RN 578708-73-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(methylthio)phenyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-74-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(nitrophenyl)amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

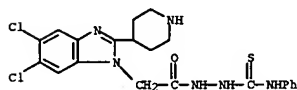


RN 578708-75-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,3-benzodioxol-5-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

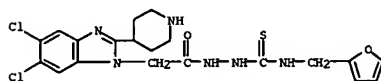


RN 578708-76-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,1'-biphenyl]-2-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

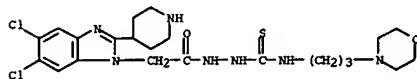
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



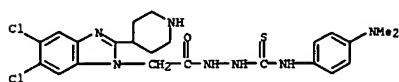
RN 578708-81-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[2-furanylmethyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-82-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3-(4-morpholinyl)propyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-85-7 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(dimethylamino)phenyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



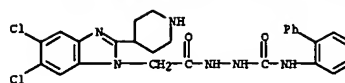
RN 578708-86-8 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,4-dimethyl-7-(1-methylethyl)-1-phenanthrenyl]methyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

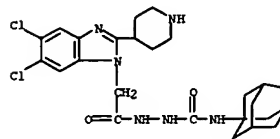
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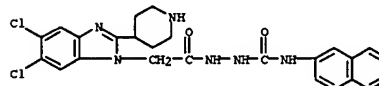
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



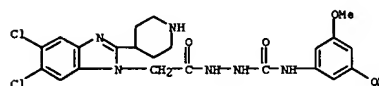
RN 578708-77-7 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[tricyclo[3.3.1.1.3,7]dec-1-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-78-8 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[2-naphthalenylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

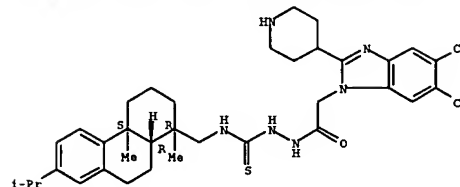


RN 578708-79-9 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3,5-dimethoxyphenyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

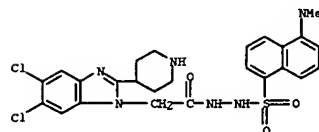


RN 578708-80-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[phenylamino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

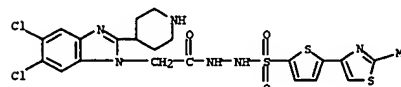
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



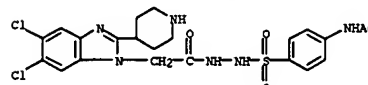
RN 578708-87-9 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-88-0 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[2-methyl-4-thiazolyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

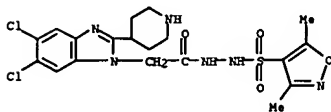


RN 578708-89-1 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(acetylamino)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

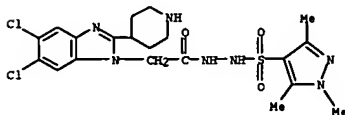




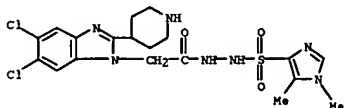
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 578708-90-4 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-91-5 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(1,3,5-trimethyl-1H-pyrazol-4-yl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

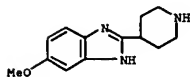


RN 578708-92-6 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(1,5-dimethyl-1H-imidazol-4-yl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

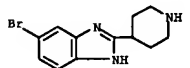


RN 578708-94-8 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(4-nitrophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

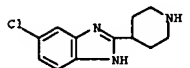
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN 1H-Benzimidazole, 5-methoxy-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



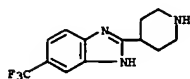
RN 578709-05-4 CAPLUS  
 CN 1H-Benzimidazole, 5-bromo-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



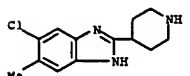
RN 578709-06-5 CAPLUS  
 CN 1H-Benzimidazole, 5-chloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-07-6 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



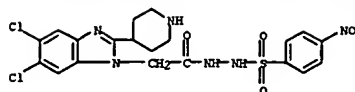
RN 578709-08-7 CAPLUS  
 CN 1H-Benzimidazole, 5-chloro-6-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



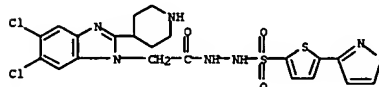
RN 578709-12-3 CAPLUS

<1/13/2006>

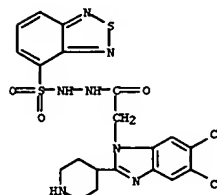
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



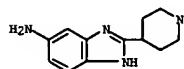
RN 578708-95-9 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[5-(3-isoxazolyl)-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-96-0 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-(2,1,3-benzothiadiazol-4-ylsulfonyl)hydrazide (9CI) (CA INDEX NAME)

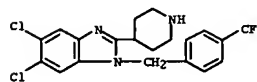


RN 578708-97-1 CAPLUS  
 CN 1H-Benzimidazol-5-amine, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

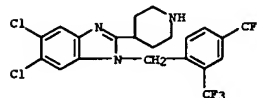


RN 578709-04-3 CAPLUS

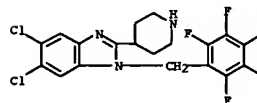
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



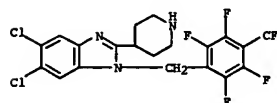
RN 578709-13-4 CAPLUS  
 CN 1H-Benzimidazole, 1-[[2,4-bis(trifluoromethyl)phenyl]methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-14-5 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[(pentafluorophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

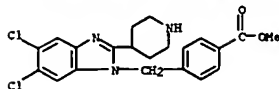


RN 578709-15-6 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

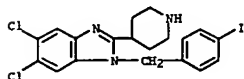


RN 578709-16-7 CAPLUS  
 CN Benzoic acid, 4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

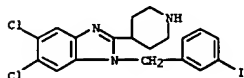
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



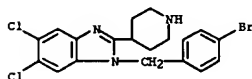
RN 578709-17-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-iodophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-18-9 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(3-iodophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

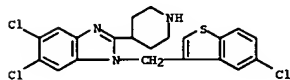


RN 578709-19-0 CAPLUS  
CN 1H-Benzimidazole, 1-[(4-bromophenyl)methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

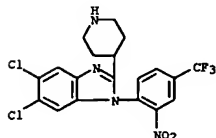


RN 578709-21-4 CAPLUS  
CN 1H-Benzimidazole, 1-butyl-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

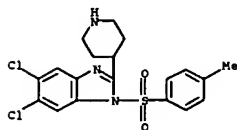
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



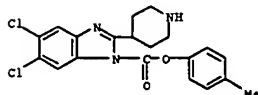
RN 578709-26-9 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[2-nitro-4-(trifluoromethyl)phenyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-27-0 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-methylphenyl)sulfonyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-28-1 CAPLUS  
CN 1H-Benzimidazole-1-carboxylic acid, 5,6-dichloro-2-(4-piperidinyl)-, 4-methylphenyl ester (9CI) (CA INDEX NAME)

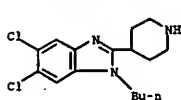


RN 578709-29-2 CAPLUS

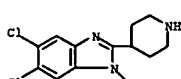
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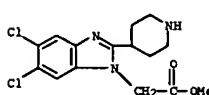
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



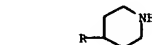
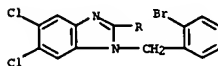
RN 578709-22-5 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-23-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, methyl ester (9CI) (CA INDEX NAME)

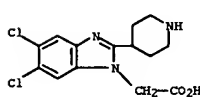


RN 578709-24-7 CAPLUS  
CN 1H-Benzimidazole, 1-[(2-bromophenyl)methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

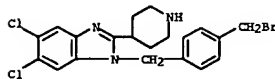


RN 578709-25-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(5-chlorobenzo[b]thien-3-yl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

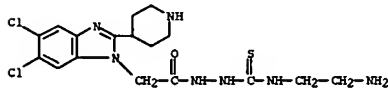
L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



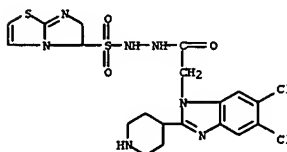
RN 614753-01-4 CAPLUS  
CN 1H-Benzimidazole, 1-[(4-(bromomethyl)phenyl)methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 702707-19-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(2-aminoethyl)amino]thioxomethylhydrazide (9CI) (CA INDEX NAME)

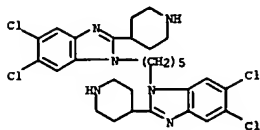


RN 702707-20-8 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(5,6-dihydroimidazo[2,1-b]thiazol-5-yl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

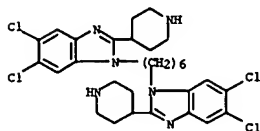


RN 702707-22-0 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-(2-methylpropyl)phenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

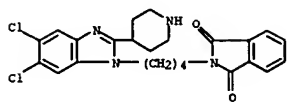
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN 1H-Benzimidazole, 1,1'-(1,5-pentanediy)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-36-8 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,6-hexanediy)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

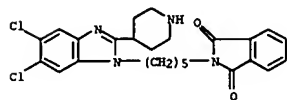


RN 578708-39-1 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]butyl]- (9CI) (CA INDEX NAME)

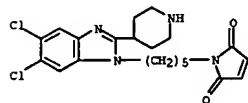


RN 578708-40-4 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[4-[(5,6-dichloro-1H-benzimidazol-2-yl)thio]butyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

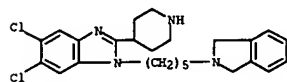
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



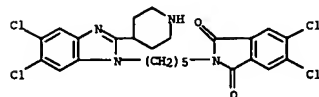
RN 578708-44-8 CAPLUS  
 CN 1H-Pyrrole-2,5-dione, 1-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



RN 578708-45-9 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[5-[(1,3-dihydro-2H-isoindol-2-yl)pentyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

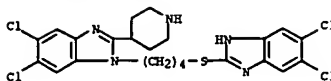


RN 578708-46-0 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 5,6-dichloro-2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

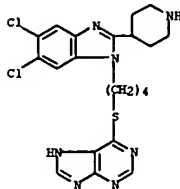


RN 578708-47-1 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-5-nitro- (9CI) (CA INDEX NAME)

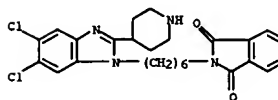
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-41-5 CAPLUS  
 CN 1H-Purine, 6-[[4-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]butyl]thio]- (9CI) (CA INDEX NAME)

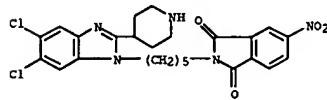


RN 578708-42-6 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[6-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]hexyl]- (9CI) (CA INDEX NAME)

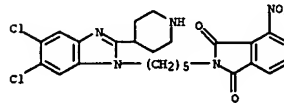


RN 578708-43-7 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

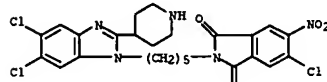
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



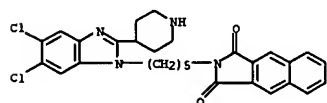
RN 578708-48-2 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-4-nitro- (9CI) (CA INDEX NAME)



RN 578708-49-3 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 5-chloro-2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-6-nitro- (9CI) (CA INDEX NAME)

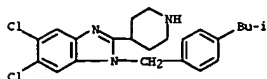


RN 578708-50-6 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[5-[(1,3-dihydro-2H-isoindol-2-yl)pentyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

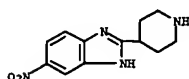


RN 578708-53-9 CAPLUS  
 CN Benzenesulfonamide, N-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
piperidinyl)- (9CI) (CA INDEX NAME)

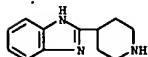


IT 521298-40-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of piperidinylbenzimidazoles and analogs as antibacterials)  
RN 521298-40-8 CAPLUS  
CN 1H-Benzimidazole, 5-nitro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
quinazolin-4-one. Tested I showed MCH-1 binding activity with IC50 =  
2.1-30.5 nM.

IT 38385-95-4, 2-(Piperidin-4-yl)benzimidazole  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of arylquinazolinones and related compds. as melanin  
concentrating hormone (MCH) antagonists)  
RN 38385-95-4 CAPLUS  
CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:198178 CAPLUS

DOCUMENT NUMBER: 140:235748  
TITLE: Preparation of arylquinazolinones and related  
compounds as melanin concentrating hormone (MCH)  
antagonists.  
INVENTOR(S): Stenkamp, Dirk; Lehmann-Lintz, Thorsten; Mueller,  
Stephan; Rudolf, Klaus; Lustenberger, Philipp; Amdt,  
Kirsten; Lotz, Ralf; Wieland, Heike; Lenter, Martin  
Boehringer Ingelheim International G.m.b.H., Germany;  
Novo Nordisk A/S  
SOURCE: Ger. Offen., 132 pp.  
CODEN: GWXKX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

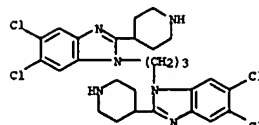
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238865	A1	20040311	DE 2002-10238865	20020824
CA 2496563	AA	20040325	CA 2003-2496563	20030816
WO 2004024702	A1	20040325	WO 2003-EP9099	20030816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1534689	A1	20050601	EP 2003-794886	20030816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013790	A	20050712	BR 2003-13790	20030816
CN 1678591	A	20051005	CN 2003-820076	20030816
US 2004242572	A1	20041202	US 2003-647156	20030822
NO 2005000068	A	20050304	NO 2005-68	20050106
PRIORITY APPLN. INFO.: DE 2002-10238865 A 20020824 US 2002-408224P P 20020904 WO 2003-EP9099 W 20030816				

OTHER SOURCE(S): MARPAT 140:235748  
AB R1R2X1Y2R3COAWK (R1, R2 = H, (substituted) alkyl, cycloalkyl, Ph; R1R2 =  
(heteroatom-interrupted) (substituted) alkylene; R3 = H, alkyl,  
cycloalkyl, cycloalkylalkyl, alkoxyalkyl, aminoalkyl; X = bond,  
(heteroatom-interrupted) (substituted) alkylene; Z = (heteroatom-  
interrupted) (substituted) alkylene; A, Y = (hetero)cyclylene; B =  
(hetero)cyclyl; W = bond, O, alkylene, alkenylene, alkynylene,  
alkyleneoxy, imino, etc.; k = 0, 1; R1Y, R3Z, AR3 = atoms to form rings),  
were prepared. Thus, 4'-chloro-3-aminobiphenyl-4-carboxylic acid  
[2-(4-pyrrolidin-1-ylmethylphenyl)ethyl]amide (preparation given) was  
stirred with HCOZH for 3 h at room temperature and for 2 h at 100° to give 64.61  
7-(4-chlorophenyl)-3-[2-(4-pyrrolidin-1-ylmethylphenyl)ethyl]-3H-

L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

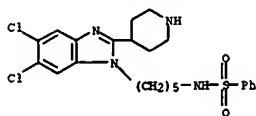
ACCESSION NUMBER: 2004:153585 CAPLUS  
DOCUMENT NUMBER: 140:375113  
TITLE: Synthesis and biological evaluations of novel  
benzimidazoles as potential antibacterial agents  
AUTHOR(S): He, Yun; Yang, Jun; Wu, Baogen; Risen, Lisa; Swayze,  
Eric E.  
CORPORATE SOURCE: Isis Therapeutics, Isis Pharmaceuticals, Inc.,  
Carlsbad, CA, 92008, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),  
14(5), 1217-1220  
CODEN: BMCLEB; ISSN: 0960-894X  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 140:375113

AB A series of novel benzimidazole derivs. were synthesized via parallel  
solution-phase chemical. Many of these compds. were found to inhibit the  
growth  
of Staphylococcus aureus and Escherichia coli. Several analogs exhibited  
low micromolar minimal inhibitory concns. (MIC) against both Gram-pos. and  
Gram-neg. bacteria of clin. relevance and could serve as leads for further  
optimizations for antibacterial research.  
IT 578708-34-6P 578708-35-7P 578708-36-8P  
578708-39-1P 578708-40-6P 578708-41-5P  
578708-42-6P 578708-43-7P 578708-44-8P  
578708-45-9P 578708-46-0P 578708-47-1P  
578708-48-2P 578708-49-3P 578708-50-6P  
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578708-77-7P 578708-78-8P 578708-79-9P  
578708-80-2P 578708-81-3P 578708-82-4P  
578708-83-5P 578708-85-7P 683273-52-1P  
683273-53-2P 683273-56-5P 683273-57-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)  
(preparation of benzimidazoles as antibacterial agents)  
RN 578708-34-6 CAPLUS  
CN 1H-Benzimidazole, 1,1'-(1,3-propanediyl)bis[5,6-dichloro-2-(4-piperidinyl)-  
(9CI) (CA INDEX NAME)

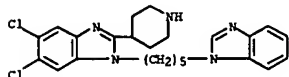


RN 578708-35-7 CAPLUS

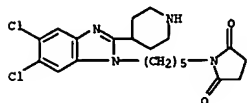
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



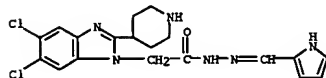
RN 578708-54-0 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (2-(2,5-pyrrolidinedione-1-yl)pentyl)- (9CI) (CA INDEX NAME)



RN 578708-55-1 CAPLUS  
CN 2,5-Pyrrolidinedione, 1-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

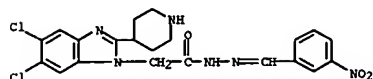


RN 578708-56-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (1H-pyrrol-2-ylmethylene)hydrazide (9CI) (CA INDEX NAME)

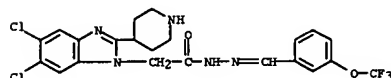


RN 578708-57-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, ((2,3,4-trihydroxyphenyl)methylene)hydrazide (9CI) (CA INDEX NAME)

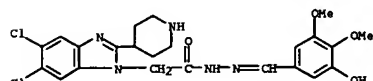
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



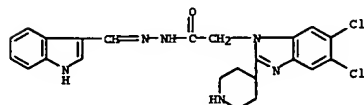
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CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, ((3-(trifluoromethoxy)phenyl)methylene)hydrazide (9CI) (CA INDEX NAME)



RN 578708-63-1 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, ((3-hydroxy-4,5-dimethoxyphenyl)methylene)hydrazide (9CI) (CA INDEX NAME)

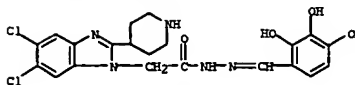


RN 578708-64-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, ((1H-indol-3-ylmethylene)hydrazide (9CI) (CA INDEX NAME)

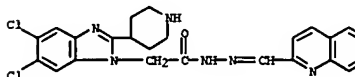


RN 578708-65-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [[5-(phenylmethoxy)-1H-indol-3-yl]methylene]hydrazide (9CI) (CA INDEX NAME)

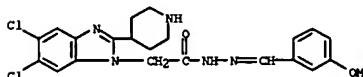
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



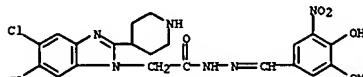
RN 578708-58-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (2-quinolinylmethylene)hydrazide (9CI) (CA INDEX NAME)



RN 578708-59-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-methoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

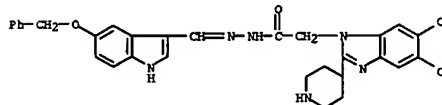


RN 578708-60-8 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(4-hydroxy-3-methoxy-5-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

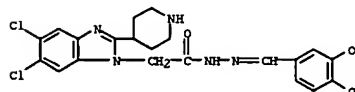


RN 578708-61-9 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

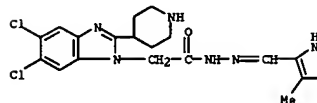
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



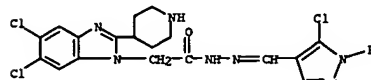
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CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 578708-67-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-methyl-1H-imidazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)

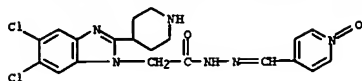


RN 578708-68-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-chloro-1-phenyl-1H-pyrazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)

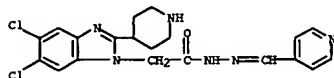


RN 578708-69-7 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(1-oxido-4-pyridinyl)methylene]hydrazide (9CI) (CA INDEX NAME)

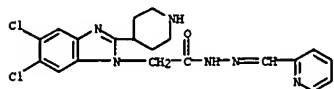
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



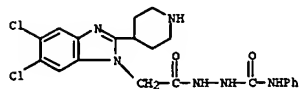
RN 578708-70-0 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (4-pyridinylmethylene)hydrazide (9CI) (CA INDEX NAME)



RN 578708-71-1 CAPLUS  
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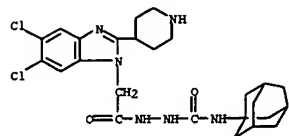


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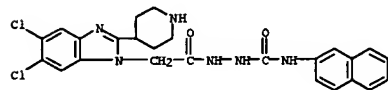


RN 578708-73-3 CAPLUS  
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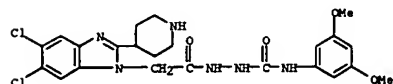
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



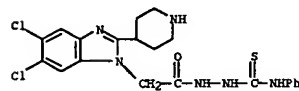
RN 578708-78-8 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(2-naphthalenylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-79-9 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3,5-dimethoxyphenyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

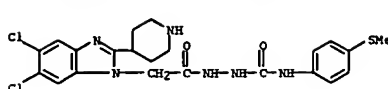


RN 578708-80-2 CAPLUS  
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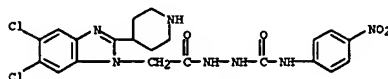


RN 578708-81-3 CAPLUS  
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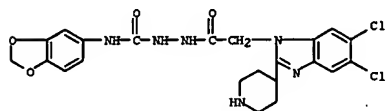
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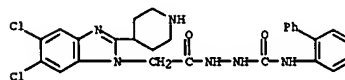
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 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-nitrophenyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-75-5 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,3-benzodioxol-5-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

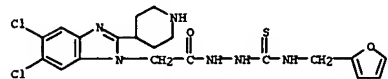


RN 578708-76-6 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,1'-biphenyl]-2-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

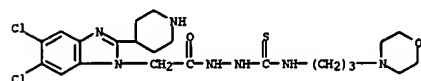


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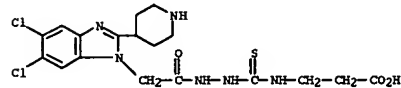
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



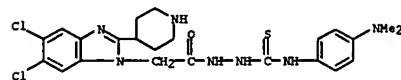
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 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3-(4-morpholinyl)propyl]amino]thiomethyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-83-5 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(dimethylamino)phenyl]amino]thiomethyl]hydrazide (9CI) (CA INDEX NAME)

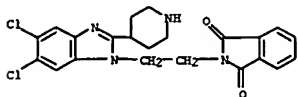


RN 578708-85-7 CAPLUS  
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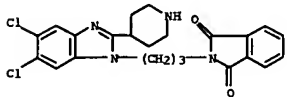


RN 683273-52-1 CAPLUS  
 CN 1H-Isindole-1,3(2H)-dione, 2-[2-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

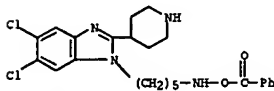
L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



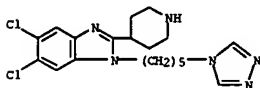
RN 683273-53-2 CAPLUS  
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RN 683273-56-5 CAPLUS  
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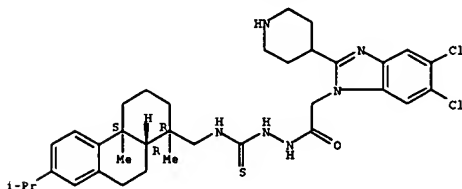


RN 683273-57-6 CAPLUS  
 CN 1H-Benzimidazole-1,3(2H)-dione, 5,6-dichloro-2-(4-piperidinyl)-1-[5-(4H-1,2,4-triazol-4-yl)pentyl]- (9CI) (CA INDEX NAME)

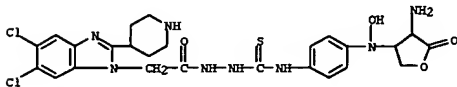


IT 578708-01-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzimidazoles as antibacterial agents)  
 RN 578708-01-7 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

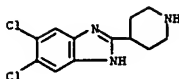


RN 862891-09-6 CAPLUS  
 CN 1H-Benzimidazole-1,3(2H)-dione, 5,6-dichloro-2-(4-piperidinyl)-1-[2-[[[4-[[4-aminotetrahydro-5-oxo-3-furanyl]hydroxyamino]phenyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

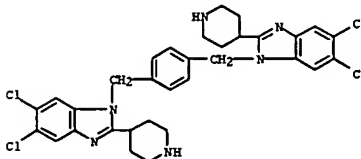


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 578708-37-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of benzimidazoles as antibacterial agents)  
 RN 578708-37-9 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-[1,4-phenylenebis(methylene)]bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

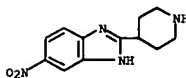


IT 578708-86-8P 662891-09-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of benzimidazoles as antibacterial agents)  
 RN 578708-86-8 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-[[4-aminotetrahydro-5-oxo-3-furanyl]hydroxyamino]phenyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

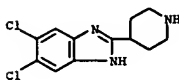
Absolute stereochemistry.

L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:51803 CAPLUS  
 DOCUMENT NUMBER: 140:317895  
 TITLE: Synthesis and evaluation of novel bacterial rRNA-binding benzimidazoles by mass spectrometry  
 AUTHOR(S): He, Yun; Yang, Jun; Wu, Baogen; Robinson, Dale; Sprankle, Kelly; Kung, Pei-Pei; Lowery, Kristin; Mohan, V.; Hofstadler, Steve; Swayze, Eric E.; Griffey, Rich  
 CORPORATE SOURCE: Isis Therapeutics, A Division of Isis Pharmaceuticals, Inc., Carlsbad, CA, 92008, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14 (3), 695-699  
 CODEN: BMCL88; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:317895  
 AB A series of novel benzimidazoles were efficiently synthesized using both solution- and solid-phase chemical techniques. The compounds were found to bind to the bacterial 16S rRNA A-site with micromolar affinities using unique mass spectrometry-based assays.  
 IT 521298-40-8P 578708-01-7P  
 RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis and evaluation of novel bacterial rRNA-binding benzimidazoles by mass spectrometry)  
 RN 521298-40-8 CAPLUS  
 CN 1H-Benzimidazole, 5-nitro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

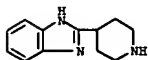


RN 578708-01-7 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

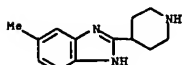


IT 38285-95-4P 295790-48-6P 578708-03-9P  
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 578709-05-4P 578709-06-5P 578709-07-6P  
 578709-08-7P 578709-12-3P 578709-13-4P  
 578709-14-5P 578709-15-6P 578709-16-7P  
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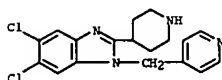
L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 578709-23-6P 578709-24-7P 578709-25-8P  
 578709-26-9P 578709-27-0P 578709-28-1P  
 578709-29-2P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);  
 BIOL (Biological study); PREP (Preparation)  
 (synthesis and evaluation of novel bacterial rRNA-binding  
 benzimidazoles by mass spectrometry)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



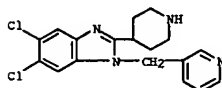
RN 295790-48-6 CAPLUS  
 CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-03-9 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

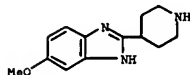


RN 578708-04-0 CAPLUS  
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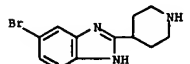


RN 578708-06-2 CAPLUS

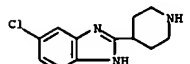
L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 578709-04-3 CAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



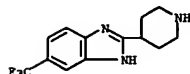
RN 578709-05-4 CAPLUS  
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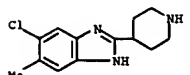
RN 578709-06-5 CAPLUS  
 CN 1H-Benzimidazole, 5-chloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-07-6 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

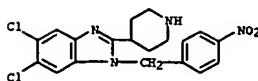


RN 578709-08-7 CAPLUS  
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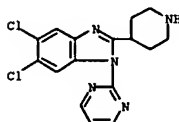


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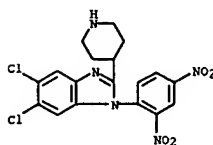
L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-nitrophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



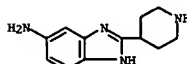
RN 578708-07-3 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 578708-08-4 CAPLUS  
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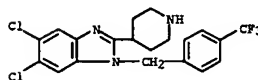


RN 578708-97-1 CAPLUS  
 CN 1H-Benzimidazol-5-amine, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

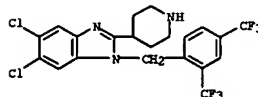


L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

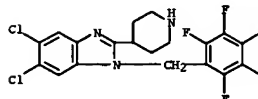
RN 578709-12-3 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



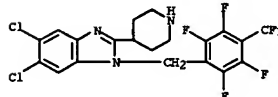
RN 578709-13-4 CAPLUS  
 CN 1H-Benzimidazole, 1-[[[2,4-bis(trifluoromethyl)phenyl]methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-14-5 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[(pentafluorophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-15-6 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

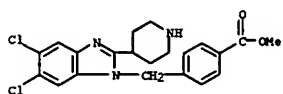


RN 578709-16-7 CAPLUS  
 CN Benzoic acid, 4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

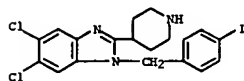
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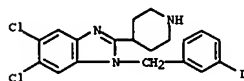
L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



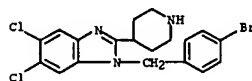
RN 578709-17-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-iodophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-18-9 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(3-iodophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

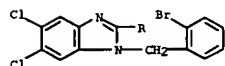


RN 578709-19-0 CAPLUS  
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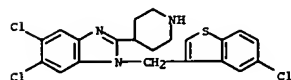


RN 578709-20-3 CAPLUS  
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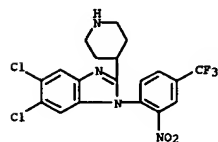
L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



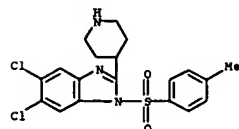
RN 578709-25-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(5-chlorobenzo[b]thien-3-yl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-26-9 CAPLUS  
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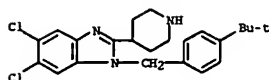


RN 578709-27-0 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-methylphenyl)sulfonyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

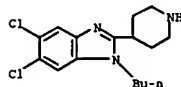


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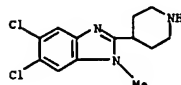
L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



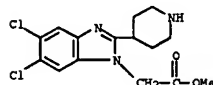
RN 578709-21-4 CAPLUS  
CN 1H-Benzimidazole, 1-butyl-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-22-5 CAPLUS  
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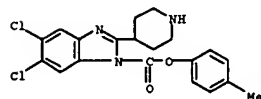
RN 578709-23-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, methyl ester (9CI) (CA INDEX NAME)



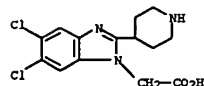
RN 578709-24-7 CAPLUS  
CN 1H-Benzimidazole, 1-[(2-bromophenyl)methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 578709-28-1 CAPLUS  
CN 1H-Benzimidazole-1-carboxylic acid, 5,6-dichloro-2-(4-piperidinyl)-, 4-methylphenyl ester (9CI) (CA INDEX NAME)



RN 578709-29-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:855801 CAPLUS

DOCUMENT NUMBER: 139:350734

TITLE:

INVENTOR(S): Preparation of 1-(4-piperidinyl)benzimidazoles as histamine H3 antagonists  
Zeng, Qingbei; Aslanian, Robert G.; Berlin, Michael Y.; Boyce, Christopher W.; Cao, Jianhua; Kozlowski, Joseph A.; Mangiaracina, Pietro; McCormick, Kevin D.; Mutabi, Mwangi W.; Rosenblum, Stuart B.; Shib, Weng-Yang; Solomon, Daniel M.; Tom, Wing C. Schering Corporation, USA

PCT Int. Appl., 132 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

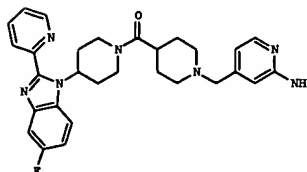
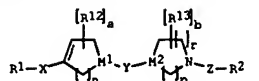
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CA 2481940	AA	20031030	CA 2003-2481940	20030416
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EP 1499316	A1	20050126	EP 2003-719766	20030416
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JP 2005529116	T2	20050929	JP 2003-585719	20030416
NO 2004005002	A	20050118	NO 2004-5002	20041117
PRIORITY APPLN. INFO.:			US 2002-373731P	P 20020418
			US 2002-373467P	P 20020418
			WO 2003-US11672	W 20030416

OTHER SOURCE(S):

GI

MARPAT 139:350734

L4 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I; R1 = (un)substituted benzimidazolyl or a derivative thereof; R2 = (un)substituted aryl or heteroaryl; R3 = CR3, N; X = a bond, alkylene; Y = CO, CS, SO2, etc.; Z = a bond, alkylene, CO, etc.; R3 = H, halo, alkyl, etc.; R12 = alkyl, OH, alkoxy, etc.; R13 = alkyl, alkoxy, OH, etc.; a, b = 0-2; n, p = 1-3; r = 0-3; with the provisos] which are histamine H3 antagonists, were prepared E.g., a multi-step synthesis of II which showed Ki of 1 nM in rHu H3 binding assay, was given. Also disclosed are pharmaceutical compns. comprising the compds. of formula I and methods of treating various diseases or conditions, such as allergy, allergy-induced airway responses, and congestion (e.g., nasal congestion) using the compds. I. Also disclosed are methods of treating said diseases or conditions using the compds. of formula I in combination with an H1 receptor antagonist.

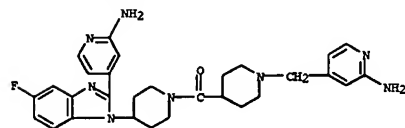
IT 618894-13-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-(4-piperidinyl)benzimidazoles as histamine H3 antagonists)

RN 618894-13-6 CAPLUS

CN Piperidine, 4-[2-(2-amino-4-pyridinyl)-5-fluoro-1H-benzimidazol-1-yl]-1-[(1-(2-amino-4-pyridinyl)methyl)-4-piperidinyl]carbonyl- (9C1) (CA INDEX NAME)

L4 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

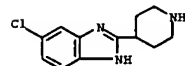


IT 578709-06-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-(4-piperidinyl)benzimidazoles as histamine H3 antagonists)

RN 578709-06-5 CAPLUS

CN 1H-Benzimidazole, 5-chloro-2-(4-piperidinyl)- (9C1) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:737580 CAPLUS

DOCUMENT NUMBER: 139:261298

TITLE:

INVENTOR(S): Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE  
Mjalli, Adnan M. M.; Andrews, Robert C.; Gopalaswamy, Ramesh Hari; Anitha Avor, Kwasi; Qabaja, Ghassan; Guo, Xiao-Chuan; Gupta, Suparna; Jones, David R.; Chen, Xin

PCT Int. Appl., 462 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

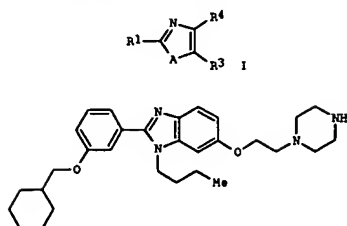
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2003075921	A2	20030918	WO 2003-US6749	20030305		
WO 2003075921	A3	20031204				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MN, MW, MX, MY, MZ, NA, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	CA 2476594	AA	20030918	CA 2003-2476594	20030305
US 2004082542	A1	20040429	US 2003-382203	20030305		
EP 1482931	A2	20041208	EP 2003-713918	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	JP 2005525378	T2	20050825	JP 2003-574195	20030305	
PRIORITY APPLN. INFO.:			US 2002-361983P	P 20020305		
			WO 2003-US6749	W 20030305		

OTHER SOURCE(S):

GI

MARPAT 139:261298

L4 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



II

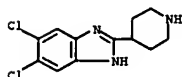
AB Title compds. and analogs I [wherein A = O, S, or NR<sub>2</sub>; R<sub>1</sub> and R<sub>2</sub> = independently H or (un)substituted (hetero)aryl, (cyclo)alkyl, heterocyclyl, alkenyl, alkynyl, alkylene(hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; R<sub>3</sub> and R<sub>4</sub> = independently H, halo, OH, CN, CONH<sub>2</sub>, CO<sub>2</sub>H, or (un)substituted (hetero)aryl, (cyclo)alkyl, heterocyclyl, alkenyl, alkynyl, alkylene(hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as modulators of the interaction between the receptor for advanced glycosylated end products (RAGE) and its ligands, such as advanced glycosylated end products (AGEs), S100/calgranulin/EN-RAGE,  $\beta$ -amyloid, and amphotericin. For example, 1-BOC-4-[2-(4-amino-3-butylaminophenoxy)ethyl]piperazine was condensed with 3-hydroxybenzaldehyde to give the hydroxybenzimidazole. Coupling with cyclohexylmethyl bromide in the presence of NaH in THF afforded II. In binding studies employing S100b as the RAGE ligand, five hundred fifty-one invention compds. exhibited binding with IC<sub>50</sub> values of < 10  $\mu$ M. Thus, I and their pharmaceutical compds. are useful for the management, treatment, control, or as an adjunct treatment for diseases in humans caused by RAGE, including acute and chronic inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis (no data).

IT 603144-46-3P, N,N-Diethyl-N-[2-[(4-(piperidin-4-yl)-3-(4-(pyrrolidin-1-yl)butyl)-1H-benzimidazol-5-yl)oxy]ethyl]amine  
603149-97-9P, 1-[5-(Pyrrolidin-1-yl)pentyl]-6-(3-diethylaminopropoxy)-2-(piperidin-4-yl)-1H-benzimidazole trihydrochloride  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

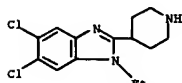
(RAGE modulator; preparation of imidazole and benzimidazole RAGE modulators  
for treatment of inflammation, diabetes, tumors, and other conditions)  
RN 603144-46-3 CAPLUS  
CN Ethanamine, N,N-diethyl-2-[[2-(4-piperidinyl)-1-[4-(1-pyrrolidinyl)butyl]-

L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:689651 CAPLUS  
DOCUMENT NUMBER: 139:323465  
TITLE: 2-Piperidin-4-yl-benzimidazoles with broad spectrum antibacterial activities  
AUTHOR(S): He, Yun; Wu, Baogen; Yang, Jun; Robinson, Dale; Risen, Lisa; Ranken, Ray; Blyn, Lawrence; Sheng, Suzie; Swartz, Eric E.  
CORPORATE SOURCE: Isis Therapeutics, A Division of Isis Pharmaceuticals, Inc., Carlsbad, CA, 92008, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(19), 3253-3256  
CODEN: BMCLSS; ISSN: 0960-894X  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 139:323465  
AB A series of 2-piperidin-4-yl-benzimidazoles were synthesized and evaluated for antibacterial activities. Certain compds. inhibit bacterial growth with low micromolar minimal inhibitory concentration (MIC). These benzimidazoles are effective against both Gram-pos. and Gram-neg. bacteria of clinical importance, particularly enterococci, and represent a new class of potential antibacterial agents.  
IT 578708-01-7P 578708-02-8P 578708-03-9P  
578708-04-0P 578708-05-1P 578708-06-2P  
578708-08-4P 578708-10-8P 578708-11-9P  
578708-12-0P 578708-13-1P 578708-14-2P  
578708-16-4P 578708-18-6P 578708-21-1P  
578708-22-2P 578708-23-3P 578708-24-4P  
578708-25-5P 578708-26-6P 578708-27-7P  
578708-28-8P 578708-29-9P 578708-32-4P  
578708-33-5P 614753-01-4P 614753-02-5P  
RL: SYN (Synthetic preparation); PREP (Preparation)  
(preparation and antibacterial structure activity relationship anal. of 2-Piperidin-4-yl-benzimidazoles)  
RN 578708-01-7 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-02-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-ethyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

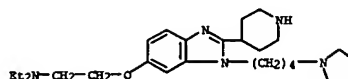


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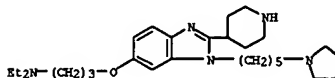
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L4 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

1H-benzimidazol-6-yl)oxy]- (9CI) (CA INDEX NAME)



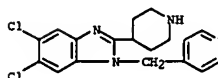
RN 603149-97-9 CAPLUS  
CN 1-Propanamine, N,N-diethyl-3-[[2-(4-piperidinyl)-1-[5-(1-pyrrolidinyl)pentyl]-1H-benzimidazol-6-yl]oxy]-, trihydrochloride (9CI)  
(CA INDEX NAME)



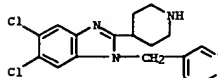
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L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

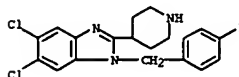
RN 578708-03-9 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



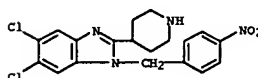
RN 578708-04-0 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 578708-05-1 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-fluorophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



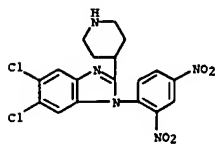
RN 578708-06-2 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-nitrophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



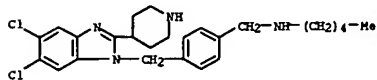
RN 578708-08-4 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-(2,4-dinitrophenyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



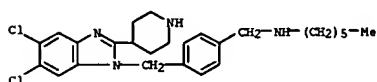
L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



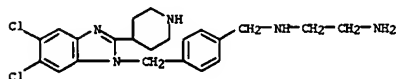
RN 578708-10-8 CAPLUS  
CN Benzenemethanamine, 4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-N-pentyl- (9CI) (CA INDEX NAME)



RN 578708-11-9 CAPLUS  
CN Benzenemethanamine, 4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-N-hexyl- (9CI) (CA INDEX NAME)

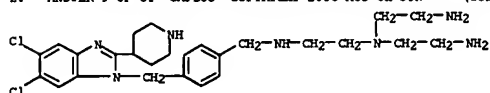


RN 578708-12-0 CAPLUS  
CN 1,2-Ethanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

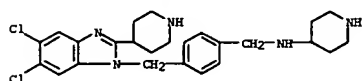


RN 578708-13-1 CAPLUS  
CN 1,3-Propanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

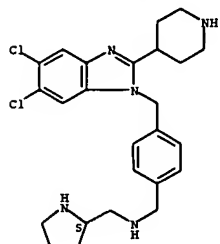


RN 578708-22-2 CAPLUS  
CN 4-Piperidinamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

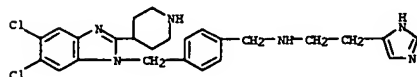


RN 578708-23-3 CAPLUS  
CN 2-Pyrrolidinemethanamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



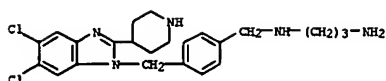
RN 578708-24-4 CAPLUS  
CN 1H-Indazole-4-ethanamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



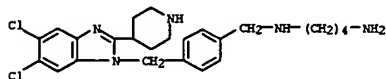
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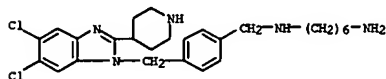
L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



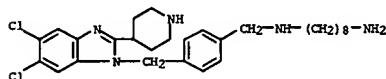
RN 578708-14-2 CAPLUS  
CN 1,4-Butanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 578708-16-4 CAPLUS  
CN 1,6-Hexanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



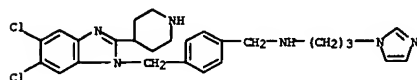
RN 578708-18-6 CAPLUS  
CN 1,8-Octanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



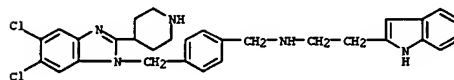
RN 578708-21-1 CAPLUS  
CN 1,2-Ethanediamine, N,N-bis(2-aminoethyl)-N'-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

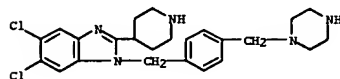
RN 578708-25-5 CAPLUS  
CN 1H-Imidazole-1-propanamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



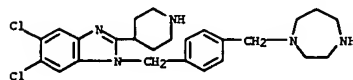
RN 578708-26-6 CAPLUS  
CN 1H-Indole-2-ethanamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 578708-27-7 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[[[4-(1-piperazinylmethyl)phenyl]methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

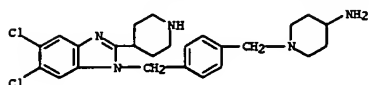


RN 578708-28-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[[[4-(hexahydro-1H-1,4-diazepin-1-yl)methyl]phenyl]methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

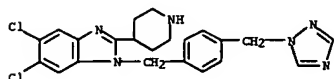


RN 578708-29-9 CAPLUS  
CN 4-Piperidinamine, 1-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

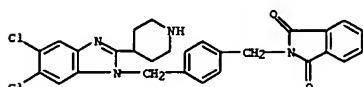
L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



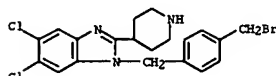
RN 578708-32-4 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-((4-piperidinyl)-1-[[4-(1H-1,2,4-triazol-1-ylmethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 578708-33-5 CAPLUS  
CN 1H-Isindole-1,3(2H)-dione, 2-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 614753-01-4 CAPLUS  
CN 1H-Benzimidazole, 1-[[4-(bromomethyl)phenyl]methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 614753-02-5 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:633695 CAPLUS

DOCUMENT NUMBER: 139:180062

TITLE: Preparation of novel benzimidazole compounds as antibacterial agents  
INVENTOR(S): Swayze, Eric E.; Ho, Yun; Seth, Punit P.; Jefferson, Elizabeth Anne

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

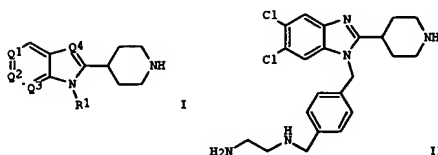
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066622	A1	20030814	WO 2003-US3590	20030206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003187258	A1	20031002	US 2002-71978	20020206
PRIORITY APPLN. INFO.: US 2002-71978 A 20020206				
OTHER SOURCE(S): MARPAT 139:180062				

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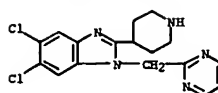
AB Novel benzimidazole derivs. of formula I [R1 = H, alkyl, aryl, arylalkyl, heteroaryl, arylsulfonyl, arylalkoxycarbonyl, etc.; Q1-Q3 = N, (substituted) CH, Q4 = N, S] are prepared that possess antibacterial activity. The invention also is directed to compns. including the benzimidazole derivs., and methods for using the same. Thus, II was prepared starting from 4,5-dichloro-1,2-phenylenediamine and N-BOC-isonipacotic acid, and had an MIC of 6-12 µM against *S. aureus* and 12-25 µM against *E. coli*.

IT 521298-40-8P 578708-01-7P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

&lt;1/13/2006&gt;

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L4 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



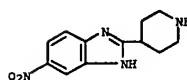
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of benzimidazole compds. as antibacterial agents)

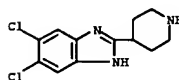
RN 521298-40-8 CAPLUS

CN 1H-Benzimidazole, 5-nitro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-01-7 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



IT 38385-95-4P 295790-48-6P 578708-02-8P

578708-03-9P 578708-04-0P 578708-05-1P

578708-06-2P 578708-07-3P 578708-08-4P

578708-09-5P 578708-10-6P 578708-11-7P

578708-12-8P 578708-13-9P 578708-14-0P

578708-15-1P 578708-16-2P 578708-17-3P

578708-18-4P 578708-19-5P 578708-20-6P

578708-21-7P 578708-22-8P 578708-23-9P

578708-24-0P 578708-25-1P 578708-26-2P

578708-27-3P 578708-28-4P 578708-29-5P

578708-30-6P 578708-31-7P 578708-32-8P

578708-33-9P 578708-34-0P 578708-35-1P

578708-36-2P 578708-37-3P 578708-38-4P

578708-39-5P 578708-40-6P 578708-41-7P

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578708-48-4P 578708-49-5P 578708-50-6P

578708-51-7P 578708-52-8P 578708-53-9P

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578708-63-9P 578708-64-0P 578708-65-1P

578708-66-2P 578708-67-3P 578708-68-4P

578708-69-5P 578708-70-6P 578708-71-7P

578708-72-8P 578708-73-9P 578708-74-0P

578708-75-1P 578708-76-2P 578708-77-3P

578708-78-4P 578708-79-5P 578708-80-6P

578708-81-7P 578708-82-8P 578708-83-9P

578708-90-0P 578708-91-1P 578708-92-2P

578708-93-3P 578708-94-4P 578708-95-5P

578708-96-6P 578708-97-7P 578708-98-8P

578708-99-9P 578709-00-0P 578709-01-1P

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

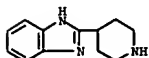
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 578709-20-3P 578709-21-4P 578709-22-5P  
 578709-23-6P 578709-24-7P 578709-25-8P  
 578709-26-9P 578709-27-0P 578709-28-1P  
 578709-29-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole compds. as antibacterial agents)

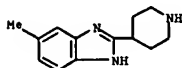
RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



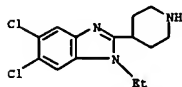
RN 295790-48-6 CAPLUS

CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-02-8 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-1-ethyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

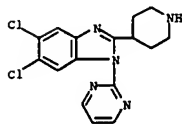


RN 578708-03-9 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

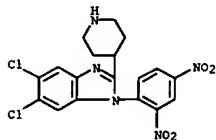


L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



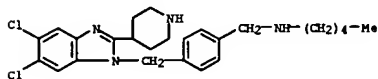
RN 578708-08-4 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-1-(2,4-dinitrophenyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



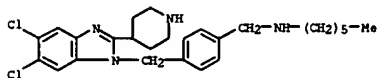
RN 578708-10-8 CAPLUS

CN Benzenemethanamine, 4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]-N-pentyl]- (9CI) (CA INDEX NAME)



RN 578708-11-9 CAPLUS

CN Benzenemethanamine, 4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]-N-hexyl]- (9CI) (CA INDEX NAME)



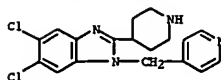
RN 578708-12-0 CAPLUS

CN 1,2-Ethanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

&lt;1/13/2006&gt;

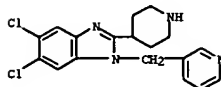
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L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



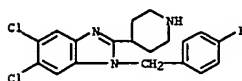
RN 578708-04-0 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



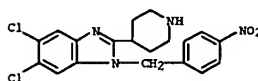
RN 578708-05-1 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-fluorophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-06-2 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-nitrophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

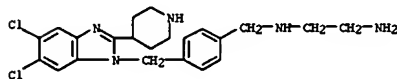


RN 578708-07-3 CAPLUS

CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

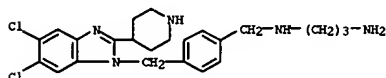


L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



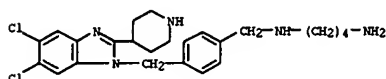
RN 578708-13-1 CAPLUS

CN 1,3-Propanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



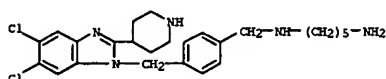
RN 578708-14-2 CAPLUS

CN 1,4-Butanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 578708-15-3 CAPLUS

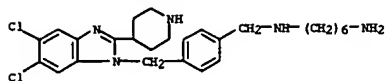
CN 1,5-Pentanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



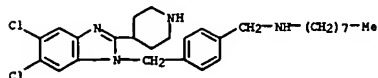
RN 578708-16-4 CAPLUS

CN 1,6-Hexanediamine, N-[[[4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

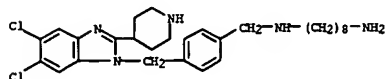
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-17-5 CAPLUS  
 CN Benzenemethanamine, 4-[[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-N-octyl- (9CI) (CA INDEX NAME)

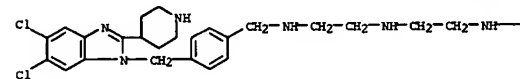


RN 578708-18-6 CAPLUS  
 CN 1,8-Octanediamine, N-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 578708-19-7 CAPLUS  
 CN 1,2-Ethanediamine, N-(2-aminoethyl)-N'-[[2-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

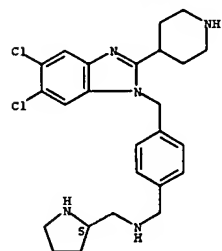
PAGE 1-A



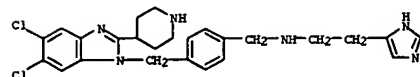
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 578708-23-3 CAPLUS  
 CN 2-Pyrrolidinemethanamine, N-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

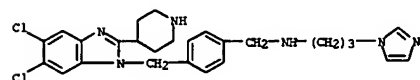
Absolute stereochemistry.



RN 578708-24-4 CAPLUS  
 CN 1H-Imidazole-4-ethanamine, N-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



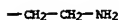
RN 578708-25-5 CAPLUS  
 CN 1H-Indole-2-ethanamine, N-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 578708-26-6 CAPLUS  
 CN 1H-Indole-2-ethanamine, N-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

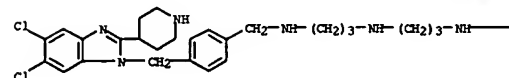
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B

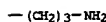


RN 578708-20-0 CAPLUS  
 CN 1,3-Propanediamine, N-(3-aminopropyl)-N'-[[3-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]amino]propyl]- (9CI) (CA INDEX NAME)

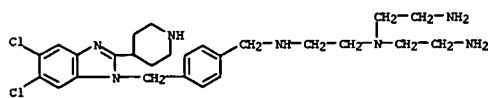
PAGE 1-A



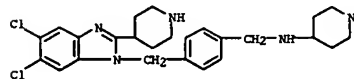
PAGE 1-B



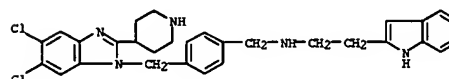
RN 578708-21-1 CAPLUS  
 CN 1,2-Ethanediamine, N,N-bis(2-aminoethyl)-N'-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



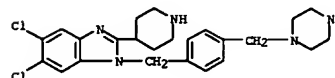
RN 578708-22-2 CAPLUS  
 CN 4-Piperidinamine, N-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



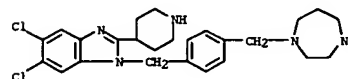
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



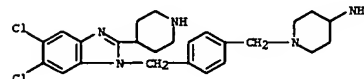
RN 578708-27-7 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-28-9 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



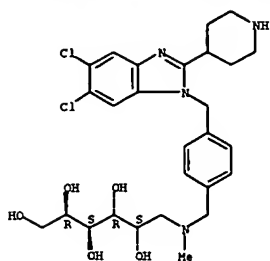
RN 578708-29-9 CAPLUS  
 CN 4-Piperidinamine, 1-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



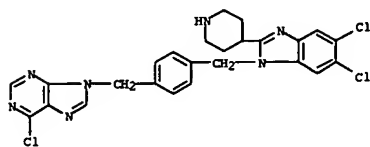
RN 578708-30-2 CAPLUS  
 CN D-Galactitol, 1-deoxy-1-[[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]methylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

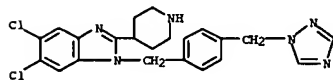
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-31-3 CAPLUS  
 CN 9H-Purine, 6-chloro-9-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

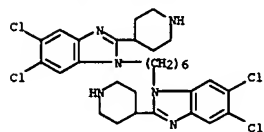


RN 578708-32-4 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[4-(1H-1,2,4-triazol-1-yl)methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

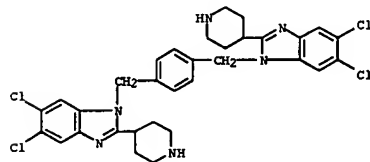


RN 578708-33-5 CAPLUS  
 CN 1H-Isindole-1,3(2H)-dione, 2-[[4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

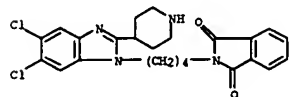
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



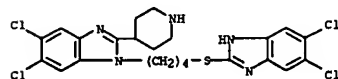
RN 578708-37-9 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-[1,4-phenylenebis(methylene)]bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578708-39-1 CAPLUS  
 CN 1H-Isindole-1,3(2H)-dione, 2-[4-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]butyl]- (9CI) (CA INDEX NAME)



RN 578708-40-4 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[4-[[5,6-dichloro-1H-benzimidazol-2-yl]thio]butyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

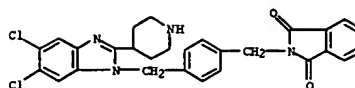


RN 578708-41-5 CAPLUS  
 CN 1H-Purine, 6-[[4-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

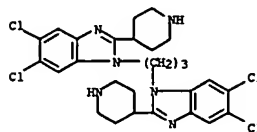
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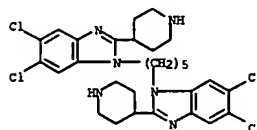
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 578708-34-6 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,3-propanediyl)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

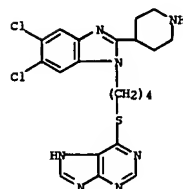


RN 578708-35-7 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,5-pentanedyl)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

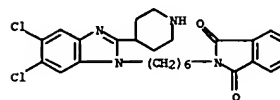


RN 578708-36-8 CAPLUS  
 CN 1H-Benzimidazole, 1,1'-(1,6-hexanedyl)bis[5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

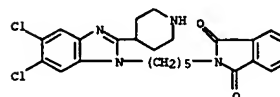
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



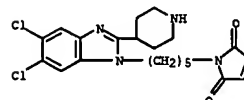
RN 578708-42-6 CAPLUS  
 CN 1H-Isindole-1,3(2H)-dione, 2-[6-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]hexyl]- (9CI) (CA INDEX NAME)



RN 578708-43-7 CAPLUS  
 CN 1H-Isindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



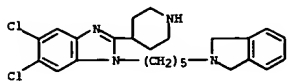
RN 578708-44-8 CAPLUS  
 CN 1H-Pyrrrole-2,5-dione, 1-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



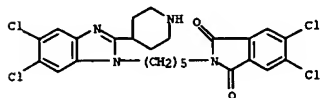


L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

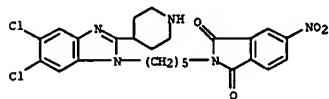
RN 578708-45-9 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[5-(1,3-dihydro-2H-isoindol-2-yl)pentyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



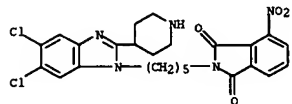
RN 578708-46-0 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 5,6-dichloro-2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



RN 578708-47-1 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-5-nitro- (9CI) (CA INDEX NAME)

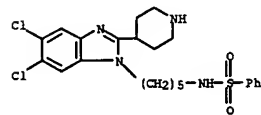


RN 578708-48-2 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-4-nitro- (9CI) (CA INDEX NAME)

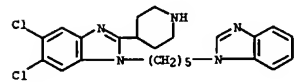


L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

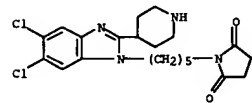
RN 578708-53-9 CAPLUS  
 CN Benzenesulfonamide, N-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



RN 578708-54-0 CAPLUS  
 CN 1H-Benzimidazole, 1-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



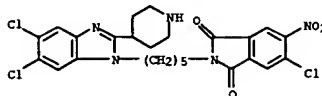
RN 578708-55-1 CAPLUS  
 CN 2,5-Pyrrolidinedione, 1-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



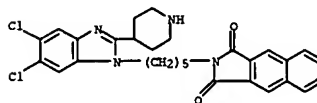
RN 578708-56-2 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(1H-pyrrol-2-ylmethylene)hydrazide] (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

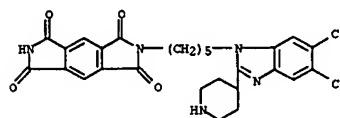
RN 578708-49-3 CAPLUS  
 CN 1H-Benzimidazole-1,3(2H)-dione, 5-chloro-2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 578708-50-6 CAPLUS  
 CN 1H-Benzimidazole-1,3(2H)-dione, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



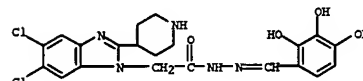
RN 578708-51-7 CAPLUS  
 CN Benzo[1,2-c:4,5-c']dipyrrole-1,3,5,7(2H,6H)-tetrone, 2-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)



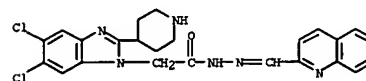
RN 578708-52-8 CAPLUS  
 CN Benzamide, N-[5-[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]pentyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

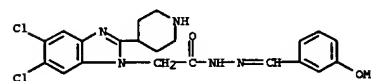
RN 578708-57-3 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2,3,4-trihydroxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 578708-58-4 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2-quinolinylmethylene)hydrazide] (9CI) (CA INDEX NAME)

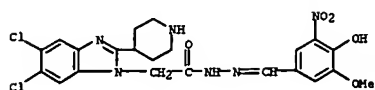


RN 578708-59-5 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-methoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

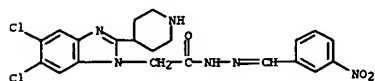


RN 578708-60-8 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(4-hydroxy-3-methoxy-5-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

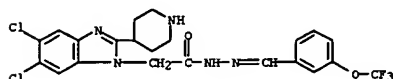
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



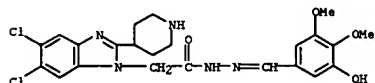
RN 578708-61-9 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 578708-62-0 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-(trifluoromethoxy)phenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



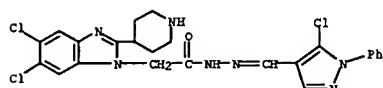
RN 578708-63-1 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(3-hydroxy-4,5-dimethoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



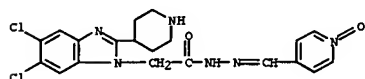
RN 578708-64-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-methyl-1H-imidazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

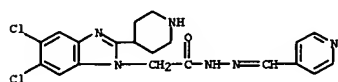
RN 578708-68-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-chloro-1-phenyl-1H-pyrazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)



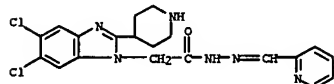
RN 578708-69-7 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(1-oxido-4-pyridinyl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 578708-70-0 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (4-pyridinylmethylene)hydrazide (9CI) (CA INDEX NAME)

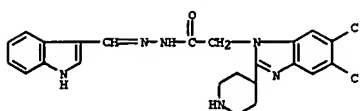


RN 578708-71-1 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, (2-pyridinylmethylene)hydrazide (9CI) (CA INDEX NAME)

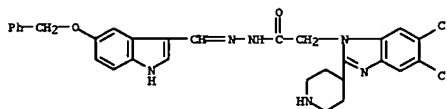


RN 578708-72-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(phenylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)

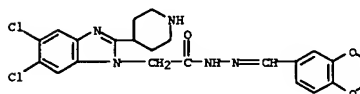
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



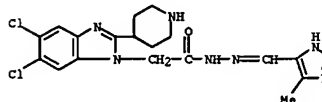
RN 578708-65-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-(phenylmethoxy)-1H-indol-3-yl)methylene]hydrazide (9CI) (CA INDEX NAME)



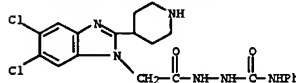
RN 578708-66-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]hydrazide (9CI) (CA INDEX NAME)



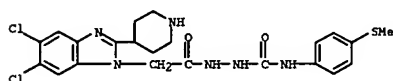
RN 578708-67-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, [(5-methyl-1H-imidazol-4-yl)methylene]hydrazide (9CI) (CA INDEX NAME)



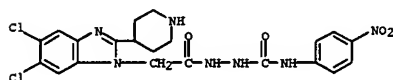
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



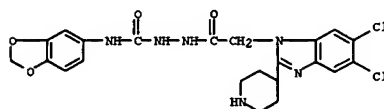
RN 578708-73-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(methylthio)phenyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-74-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(nitrophenyl)amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

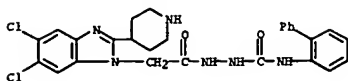


RN 578708-75-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,3-benzodioxol-5-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

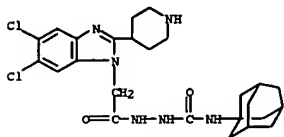


RN 578708-76-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,1'-biphenyl]-2-ylamino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

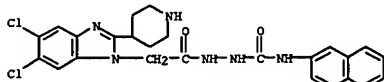
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



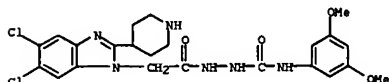
RN 578708-77-7 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(tricyclo[3.3.1.1.3,7]dec-1-ylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-78-8 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(2-naphthelenylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)

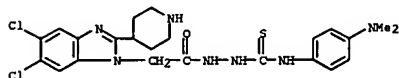


RN 578708-79-9 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3,5-dimethoxyphenyl]amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)



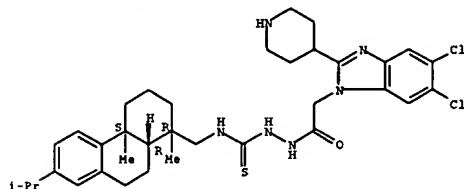
RN 578708-80-2 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(dimethylamino)phenyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

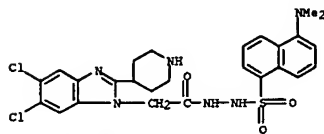


RN 578708-86-8 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(acetylamino)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



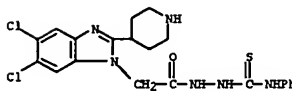
RN 578708-87-9 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



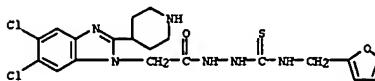
RN 578708-88-0 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[5-(2-methyl-4-thiazolyl)-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

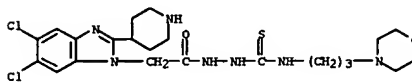
2-[[[phenylamino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



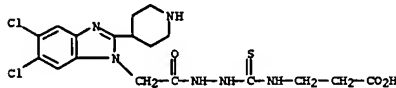
RN 578708-81-3 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[2-furanylmethyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-82-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3-(4-morpholinyl)propyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

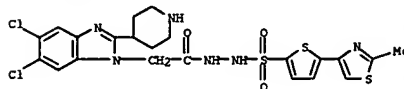


RN 578708-83-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[2-carboxyethyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

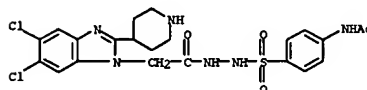


RN 578708-85-7 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(dimethylamino)phenyl]amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

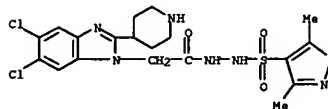
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



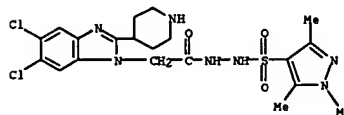
RN 578708-89-1 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[4-(acetylamino)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 578708-90-4 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[3,5-dimethyl-4-isoxazolyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

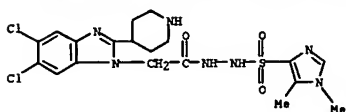


RN 578708-91-5 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,3,5-trimethyl-1H-pyrazol-4-yl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

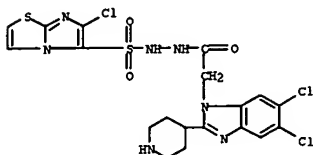


RN 578708-92-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[[[1,5-dimethyl-1H-imidazol-4-yl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

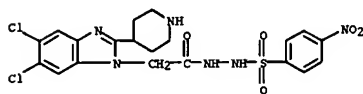
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



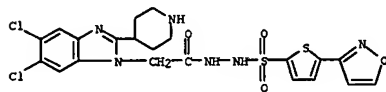
RN 578708-93-7 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(6-chloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



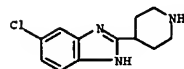
RN 578708-94-8 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(4-nitrophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



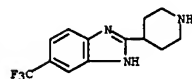
RN 578708-95-9 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-[(5-(3-isoxazolyl)-2-thienyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



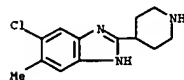
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN 1H-Benzimidazole, 5-chloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



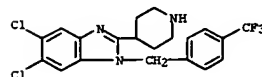
RN 578709-07-6 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 578709-08-7 CAPLUS  
 CN 1H-Benzimidazole, 5-chloro-6-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



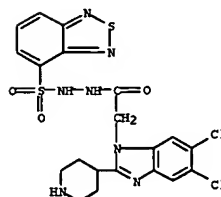
RN 578709-12-3 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



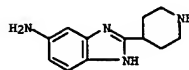
RN 578709-13-4 CAPLUS  
 CN 1H-Benzimidazole, 1-[[[2,4-bis(trifluoromethyl)phenyl]methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 578708-96-0 CAPLUS

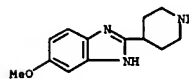
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, 2-(2,1,3-benzothiadiazol-4-ylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



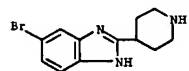
RN 578708-97-1 CAPLUS  
 CN 1H-Benzimidazol-5-amine, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-04-3 CAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

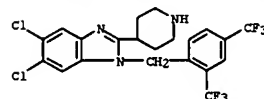


RN 578709-05-4 CAPLUS  
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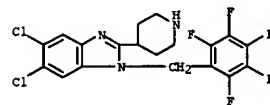


RN 578709-06-5 CAPLUS

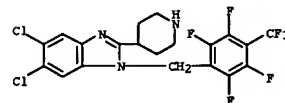
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



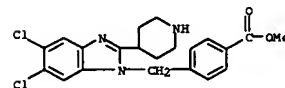
RN 578709-14-5 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[(pentafluorophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-15-6 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-2-(4-piperidinyl)-1-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

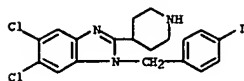


RN 578709-16-7 CAPLUS  
 CN Benzoic acid, 4-[[5,6-dichloro-2-(4-piperidinyl)-1H-benzimidazol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

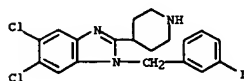


RN 578709-17-8 CAPLUS  
 CN 1H-Benzimidazole, 5,6-dichloro-1-[[4-iodophenyl]methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

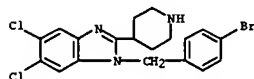
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



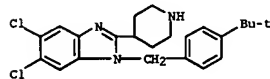
RN 578709-18-9 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(3-iodophenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-19-0 CAPLUS  
CN 1H-Benzimidazole, 1-[(4-bromophenyl)methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

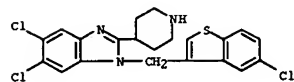


RN 578709-20-3 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(1,1-dimethylethyl)phenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

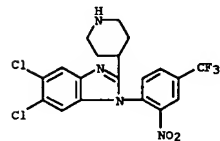


RN 578709-21-4 CAPLUS  
CN 1H-Benzimidazole, 1-butyl-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

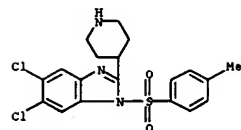
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



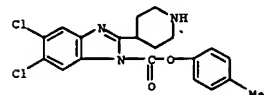
RN 578709-26-9 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[2-nitro-4-(trifluoromethyl)phenyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-27-0 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(4-methylphenyl)sulfonyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



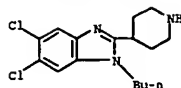
RN 578709-28-1 CAPLUS  
CN 1H-Benzimidazole-1-carboxylic acid, 5,6-dichloro-2-(4-piperidinyl)-, 4-methylphenyl ester (9CI) (CA INDEX NAME)



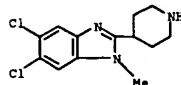
RN 578709-29-2 CAPLUS

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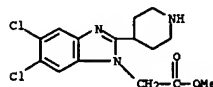
L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



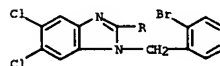
RN 578709-22-5 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-23-6 CAPLUS  
CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)-, methyl ester (9CI) (CA INDEX NAME)



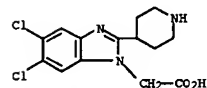
RN 578709-24-7 CAPLUS  
CN 1H-Benzimidazole, 1-[(2-bromophenyl)methyl]-5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 578709-25-8 CAPLUS  
CN 1H-Benzimidazole, 5,6-dichloro-1-[(5-chlorobenzo[b]thien-3-yl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 1H-Benzimidazole-1-acetic acid, 5,6-dichloro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

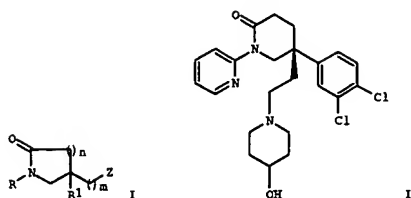


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:491214 CAPLUS  
 DOCUMENT NUMBER: 139:69156  
 TITLE: Preparation of substituted lactams as tachykinin antagonists  
 INVENTOR(S): Middleton, Donald Stuart; Stobie, Alan  
 PATENT ASSIGNMENT(S): Pfizer Limited, UK; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 207 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

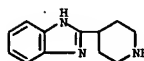
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051868	A1	20030626	WO 2002-185234	20021206
V: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2470236	AA	20030626	CA 2002-2470236	20021206
BR 2002015017	A	20040831	BR 2002-15017	20021206
EP 1456200	A1	20040915	EP 2002-804985	20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005514389	T2	20050519	JP 2003-552752	20021206
US 2004132710	A1	20040708	US 2002-322068	20021217
PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 139:69156 GI				

L4 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [R = 5-7 membered aromatic heterocycle; n = 0-4; m = 1-4; Z = amino] are prepared. For instance, (5S)-5-(3,4-Dichlorophenyl)-5-(2,2-dimethoxyethyl)-1-(2-pyridinyl)-2-piperidinone (preparation given) is deprotected (HCl) and condensed with 4-hydroxypiperidine (CH<sub>2</sub>Cl<sub>2</sub>, NaH(OMe)3) to give II. All example compds. have Ki < 1000 nM for the NK2 receptor. I are useful in treating or preventing a condition for which an NK2 antagonist is efficacious.

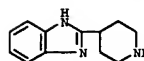
IT 38385-95-4, 2-(4-piperidinyl)-1H-benzimidazole  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of substituted lactams as tachykinin antagonists)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



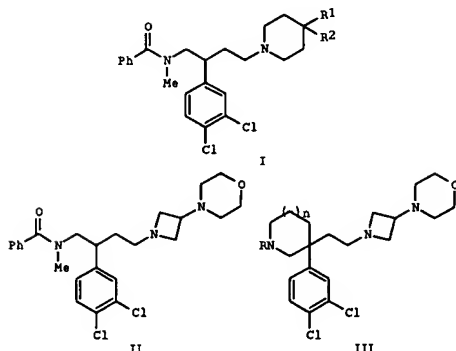
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:442765 CAPLUS  
 DOCUMENT NUMBER: 139:245960  
 TITLE: 4-Amino-2-(aryl)-butylbenzamides and Their conformationally constrained analogues. Potent antagonists of the human neurokinin-2 (NK2) receptor  
 AUTHOR(S): MacKenzie, A. Roderick; Marchington, Allan P.; Middleton, Donald S.; Newman, Sandra D.; Selway, Christopher N.; Terrett, Nicholas K.  
 CORPORATE SOURCE: Department of Discovery Chemistry, Pfizer Global Research and Development, Sandwich, Kent, CT13 9NJ, UK  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(13), 2211-2215  
 CODEN: BMCLB9; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:245960  
 GI

L4 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 neurokinin-2 (NK2) receptor binding, rabbit pulmonary artery functional activity, and structure-activity relationship  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB A library, evaluating a range of piperazines, piperidines and acyclic amines, as replacements for the 4-hydroxy-4-phenylpiperidine moiety in lead I (R1 = Ph, R2 = OH) was prepared. These efforts identified the 4-(1-benzimidazolone)piperidine analog I (R1 = 1-benzimidazolonyl, R2 = H) which was further optimized using classical single-compound synthesis to yield the 3-(4-morpholino)azetidine II. Conformationally constrained analogs of II, III (R = PhCO, n = 0; R = PhCO, 4-MeOC6H4, PhSO2, etc., n = 1), generally offered no potency advantage in this particular series.

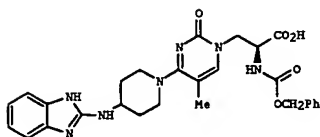
IT 38385-95-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 4-amino-2-(aryl)-butylbenzamide analogs, their human

<1/13/2006>

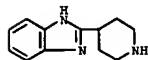
Hahte

L4 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:943625 CAPLUS  
 DOCUMENT NUMBER: 138:368840  
 TITLE: Highly potent and selective  $\alpha$ VB3-receptor antagonists: solid-phase synthesis and SAR of 1-substituted 4-amino-1H-pyrimidin-2-ones  
 AUTHOR(S): Zechel, Christian; Backfisch, Gisela; Delzer, Jürgen; Geneste, Hervé; Graef, Claudia; Hornberger, Wilfried; Kling, Andreas; Lange, Udo E. V.; Lauterbach, Arnulf; Seitz, Werner; Subkowski, Thomas  
 CORPORATE SOURCE: BASF AG, Ludwigshafen, D-67056, Germany  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(2), 165-169  
 CODEN: BMCLEB; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:368840  
 GI

L4 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Solid-phase synthesis and SAR of  $\alpha$ VB3-receptor antagonists based on a 1-substituted 4-amino-1H-pyrimidin-2-one scaffold are described. The most potent compds., e.g. 1, exhibited IC50 values towards  $\alpha$ VB3 in the nano- to subnanomolar range and high selectivity vs. related integrins like  $\alpha$ IIb $\beta$ 3. For selected examples efficacy in functional cellular assays was demonstrated.  
 IT 38285-95-4  
 RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)  
 (solid-phase synthesis and SAR of 1-substituted 4-amino-1H-pyrimidin-2-ones as  $\alpha$ VB3-receptor antagonists)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



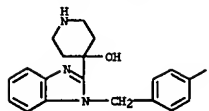
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:847701 CAPLUS  
 DOCUMENT NUMBER: 137:346199  
 TITLE: Pharmaceuticals for prophylactic or therapeutic treatment of inflammatory intestinal diseases  
 INVENTOR(S): Nishi, Takahide; Maeda, Hiroaki; Tatsuta, Akira; Kuwahara, Harumi  
 PATENT ASSIGNEE(S): Sanryo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 65 pp.  
 CODEN: JKXOXF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:  

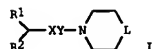
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322059	A2	20021108	JP 2001-127105	20010425
PRIORITY APPLN. INFO.:			JP 2001-127105	20010425
OTHER SOURCE(S):		MARPAT 137:346199		

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L4 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

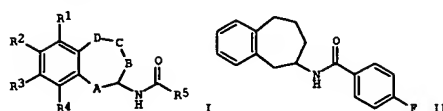


AB Title pharmaceuticals contain heterocyclic compds. 1 [X = O, S, NR; R = H, lower alkyl, aryl, aralkyl, etc.; Y = C1-8 alkylene, C2-8 alkenylene; L = CR3R4, NR4; R1, R2 = (un)substituted (hetero)aryl; R3 = H, (un)substituted (hetero)aryl, (un)substituted aralkyl; CR3R4 may form (un)substituted saturated (hetero)cyclyl, etc.], their pharmacol. acceptable salts, esters, or other derivs. as active ingredients. Thus, RDP-6335 (no mol. structure given) at 30 mg/kg p.o. remarkably prevented trinitrobenzenesulfonic acid-induced colitis in mice.  
 IT 320420-02-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of piperidines or piperazines for treatment of inflammatory intestinal diseases)  
 RN 320420-02-8 CAPLUS  
 CN 4-Piperidinol, 4-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 2002:637637 CAPLUS  
 DOCUMENT NUMBER: 137:185325  
 TITLE: Preparation of acylated 6,7,8,9-tetrahydro-5H-benzocycloheptenylamines as stimulators of endothelial NO-synthase transcription  
 INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
 SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064546	A2	20020822	WO 2002-EP1449	20020212
WO 2002064546	A3	20021107		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, NZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438324	AA	20020822	CA 2002-2438324	20020212
EE 200300370	A	20030105	EE 2003-370	20020212
EP 1362027	A2	20031119	EP 2002-722069	20020212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1491208	A	20040421	CN 2002-804856	20020212
JP 2004518720	T2	20040624	JP 2002-564479	20020212
BR 2002007197	A	20040706	BR 2002-7197	20020212
NZ 527471	A	20050225	NZ 2002-527471	20020212
US 2003008915	A1	20030109	US 2002-73203	20020213
US 6759412	B2	20040706		
ZA 2003005414	A	20040520	ZA 2003-5414	20030714
BG 108060	A	20050131	BG 2003-108060	20030805
NO 2003003566	A	20031013	NO 2003-3566	20030812
US 2004225013	A1	20041111	US 2004-859773	20040603
PRIORITY APPL. INFO.: EP 2001-102853 A 20010213				
WO 2002-EP1449 W 20020212				
US 2002-73203 A3 20020213				
OTHER SOURCE(S): MARPAT 137:185325				
GI				

L4 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



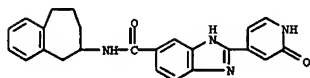
AB Title compds. I [wherein R1 and R4 = independently H, (pseudo)halo, CF3, NO2, or (un)substituted alkyl, alkenyl, alkynyl, Ph, heteroaryl, amino, alkoxy, sulfamoyl, etc.; R2 and R3 = independently H, (pseudo)halo, OH, PhO, alkoxy, CF3, CN, NO2, or (un)substituted alkyl, amino, acylamino, etc.; A = CH2, CHOH, or CH(alkyl); B, C, and D = independently CH2 or CH(alkyl); R5 = (un)substituted (hetero)aryl; and stereoisomers, mixts., or pharmaceutically acceptable salts thereof] were prepared as stimulators of endothelial NO-synthase (eNOS) transcription, which has a vasodilating effect and inhibits the aggregation of platelets, the adhesion of leukocytes to the endothelium, and the proliferation of intimal smooth muscle cells. For example, amidation of 4-fluorobenzoic acid chloride with 6,7,8,9-tetrahydro-5H-benzocyclohepten-6-ylamine in the presence of TEA in dioxane afforded II. The latter activated eNOS transcription in primary human umbilical vein cord endothelial cells (HUVEC) with EC50 of 0.02 µM. I are useful for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA, hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, or restricted memory performance or for a restricted ability to learn, or the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives (no data).

IT 450367-09-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (eNOS transcription stimulator; preparation of acylated tetrahydrobenzocycloheptenylamines as stimulators of endothelial NO-synthase transcription)

RN 450367-09-6 CAPLUS  
 CN 1H-Benzimidazole-5-carboxamide, 2-(1,2-dihydro-2-oxo-4-pyridinyl)-N-(6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CH 1  
 CRN 450367-08-5  
 CMF C24 H22 N4 O2

L4 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

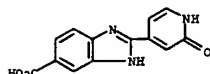


CH 2  
 CRN 76-05-1  
 CMF C2 H F3 O2



IT 450368-28-2, 2-(2-Hydroxypyridin-4-yl)-1H-benzimidazole-5-carboxylic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of acylated tetrahydrobenzocycloheptenylamines as stimulators of endothelial NO-synthase transcription)

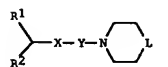
RN 450368-28-2 CAPLUS  
 CN 1H-Benzimidazole-5-carboxylic acid, 2-(1,2-dihydro-2-oxo-4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2002:353280 CAPLUS  
 DOCUMENT NUMBER: 136:369737  
 TITLE: Preparation of heterocyclic compounds for the prevention and treatment of hepatitis and/or hepatopathy  
 INVENTOR(S): Shiratschi, Akio; Nishi, Takahide; Maeda, Hiroaki; Tatsuta, Tohru; Kuwabara, Harumi  
 PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 225 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036122	A1	20020510	WO 2001-JP9387	20011025
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2002012693	A5	20020515	AU 2002-12683	20011025
JP 2002011132	A2	20020716	JP 2001-332045	20011030
PRIORITY APPL. INFO.: JP 2000-329820 A 20001030				
WO 2001-JP9387 W 20011025				
OTHER SOURCE(S): MARPAT 136:369737				
GI				



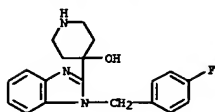
AB The title compds. I [R1 and R2 are each aryl, heteroaryl, or the like; X is oxygen or the like; Y is C1-6 alkylene or the like; and L is C(R3)(R4) (wherein R3 and R4 together with the carbon atom to which they are bonded form a five- to eight-membered saturated heterocyclic group), or the like] are prepared Compds. of this invention at 30 mg/kg orally gave 53.9% to 82.8% inhibition of glutamic acid-oxaloacetic acid transaminase in mice treated with galactosamine (600 mg/kg) and lipopolysaccharide (10 µg/kg).

IT 320420-02-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of heterocyclic compounds for prevention and treatment of hepatitis and/or hepatopathy)

RN 320420-02-8 CAPLUS  
 CN 4-Piperidinol, 4-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



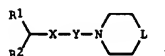
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REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:332163 CAPLUS  
DOCUMENT NUMBER: 136:340702  
TITLE: Preparation of nitrogenous saturated heterocycle compounds as immunosuppressants  
INVENTOR(S): Shiraishi, Akio; Tatsuta, Tohru; Nishi, Takahide  
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan  
SOURCE: PCT Int. Appl., 171 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

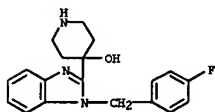
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034719	A1	20020502	WO 2000-JP7345	20001020
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000079529	A5	20020506	AU 2000-79529	20001020
PRIORITY APPL. INFO.: WO 2000-JP7345			A 20001020	
OTHER SOURCE(S): MARPAT 136:340702				
GI				



AB Nitrogenous saturated heterocycle compds. including spiropiperidine, piperidine, and piperazine derivs. of general formula (I), pharmacol. acceptable salts of the same, or esters or other derivs. thereof [wherein R1, R2 = optionally substituted aryl or heteroaryl; X = O, S, or optionally substituted NH; Y = C1-8 alkylene or C2-8 alkenylene; L = C(R3)(R4) (wherein R3 = optionally substituted aryl or heteroaryl; R4 = COR5 (wherein R5 = amine residue, optionally substituted aryl or heteroaryl), or alternatively R3 and R4 together with the carbon atom to which they are bonded may form an optionally substituted five- to eight-membered saturated heterocycle or three- to ten-membered saturated carbon ring]] are prepared. These compds. have an excellent TH1 cell-selective immunosuppressive effect and promote the production of IL-4 and IL-10 and are useful for the prevention and treatment of autoimmune diseases. Thus, K2CO3 and XI were added to a solution of 1-oxa-3,8-diazaspiro[4.5]decan-2-one hydrochloride and bis(4-chlorophenyl) 2-chloroethyl ether in 4-methyl-2-pentanone and heated SE 130' for 16 h to give 52a 8-[(2-bis(4-chlorophenyl)methoxyethyl)-1-oxa-3,8-diazaspiro[4.5]decan-2-one (II). II at 2.5 µg/mL promoted the production of IL-4 in mouse T-cell clone D at 1,000 pg/mL and at 30 mg/kg s.c. in vivo delayed by 3 days from

L4 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

day 9 to day 12 the onset of the MOG35-55 peptide-induced exptl. autoimmune encephalomyelitis in mice.  
IT 320420-02-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of nitrogenous saturated heterocycle compds. as selective immunosuppressants against TH1 cell and promoters of IL-4 and IL-4 production for prevention and treatment of autoimmune diseases)  
RN 320420-02-8 CAPLUS  
CN 4-Piperidinol, 4-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



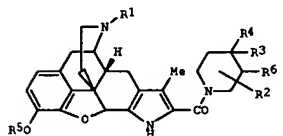
● 2 HCl

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

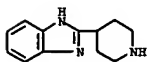
ACCESSION NUMBER: 2002:293658 CAPLUS  
DOCUMENT NUMBER: 136:325721  
TITLE: Preparation of morphinoids containing a fused pyrrole moiety for therapeutic use as selective δ-opioid receptor agonists  
INVENTOR(S): Dondio, Giulio; Gagliardi, Stefania; Graziani, Davide  
PATENT ASSIGNEE(S): GlaxoSmithKline S.P.A., Italy  
SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030936	A1	20020418	WO 2001-EP11556	20011005
W: AX, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002018210	A5	20020422	AU 2002-18210	20011005
EP 1326869	A1	20030716	EP 2001-986689	20011005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004511487	T2	20040415	JP 2002-534322	20011005
US 2004019070	A1	20040129	US 2003-39354	20030808
PRIORITY APPL. INFO.: GB 2000-25057			A 20001012	
OTHER SOURCE(S): MARPAT 136:325721			WO 2001-EP11556	W 20011005
GI				



AB Pyrrolomorphinoid carboxamides, such as I [R1 = H, alkenyl, alkyl; R2 = H, alkyl, alkenylene; R3 = H, alkyl, aryl, cycloalkyl, heterocyclyl, etc.; R4 = H, CN, OR, alkyl, acyl, alkoxy, etc.; R3R4 = spirocycloalkyl, spiroheterocyclyl; R5 = H, alkyl; R6 = H, R3R6 = bond], were prepared for pharmaceutical use as selective δ-opioid receptor agonists. Thus, I (R1 = R5 = Me, R2 = R3 = R6 = H, R4 = Ph) was prepared via a series of

L4 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 Synthetic steps which included cyclocondensation of dihydrocodeinone with MeCOO(-)NNGPh)CO2Et to form the corresponding pyrrolomorphinoid Et ester, conversion of the Et ester to the sodium pyrrolomorphinoid carboxylate, in situ formation of the pyrrolomorphinoid carboxylic acid chloride, and amide formation of the acid chloride with 4-phenylpiperidine. The prep. pyrrolomorphinoids were tested for selective  $\delta$ -opioid receptor binding activity using cloned human  $\delta$ -,  $\mu$ -, and  $\kappa$ -opioid receptors.  
 IT 38385-95-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyrrolomorphinoids for therapeutic use as selective  $\delta$ -opioid receptor agonists)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

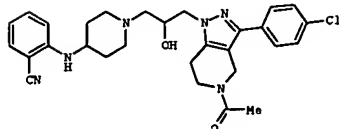
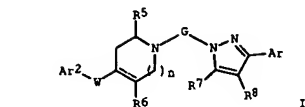


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

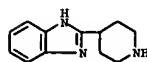
L4 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:184898 CAPLUS  
 DOCUMENT NUMBER: 136:247575  
 TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies  
 INVENTOR(S): Butler, Christopher R.; Cai, Rui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Sun, Siqun; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei  
 PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 165 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020011	A2	20020314	WO 2001-US27429	20010905
WO 2002020011	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NZ, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003078419	A1	20030424	US 2001-927324	20010810
US 6953793	B2	20051011		
CA 2421493	AA	20020314	CA 2001-2421493	20010905
AU 2001088706	A5	20020322	AU 2001-88706	20010905
EP 1315490	A2	20030604	EP 2001-968461	20010905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001014054	A	20030701	BR 2001-14054	20010905
JP 2004531456	T2	20041014	JP 2002-524495	20010905
NZ 524681	A	20050930	NZ 2001-524681	20010905
PRIORITY APPLN. INFO.:				
			US 2000-230407P	P 20000906
			US 2001-927324	A 20010810
			US 2000-225178P	P 20000814
			WO 2001-US27429	W 20010905
OTHER SOURCE(S): MARPAT 136:247575				
GI				

L4 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [wherein Ar and Ar2 = independently (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = O, S, (un)substituted N or CH, CO, CONH, NHCO, or a bond; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, or (un)substituted carbocyclyl or heterocyclyl; or R7R8 form an (un)substituted carbocyclic or heterocyclic ring; R2 = H, OH, or is absent; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClCGH4COCl and cycloaddn. of the product with H2NNE2 gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with epichlorohydrin (60%), followed by addition of 1,4-dioxane-8-azaspiro[4.5]decane (81%), conversion to the piperidinone (65%), and reductive addition of 2-aminobenzonitrile (20%), afforded II. The latter inhibited recombinant human cathepsin S with IC50 of 0.73  $\mu$ M.  
 IT 38385-95-4P, 2-Piperidin-4-yl-1H-benzimidazole  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of phenylpyrazolopyridines as cathepsin S inhibitors for treating allergies)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

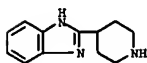


L4 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:142708 CAPLUS  
 DOCUMENT NUMBER: 136:200182  
 TITLE: Substituted and/or fused pyrazoles, particularly piperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants  
 INVENTOR(S): Butler, Christopher R.; Cai, Rui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Tays, Kevin L.; Wei, Jianmei  
 PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 235 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

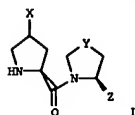
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014315	A2	20020221	WO 2001-US25290	20010810
WO 2002014315	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NZ, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419552	AA	20020221	CA 2001-2419552	20010810
AU 2001086454	A5	20020225	AU 2001-86454	20010810
US 2003078419	A1	20030424	US 2001-927324	20010810
US 6953793	B2	20051011		
EP 1309593	A2	20030514	EP 2001-965898	20010810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013286	A	20030909	BR 2001-13286	20010810
JP 2004511440	T2	20040415	JP 2002-519455	20010810
NZ 524191	A	20041126	NZ 2001-524191	20010810
ZA 200302051	A	20040625	ZA 2003-2051	20030313
ZA 200302056	A	20040702	ZA 2003-2056	20030313
US 2005234102	A1	20051020	US 2005-147923	20050608
US 2005245576	A1	20051103	US 2005-174077	20050630
PRIORITY APPLN. INFO.:				
			US 2000-225178P	P 20000814
			US 2001-927324	A 20010810
			US 2001-927188	A 20010810
			WO 2001-US25290	W 20010810
			US 2003-401486	A1 20030328
OTHER SOURCE(S): MARPAT 136:200182				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

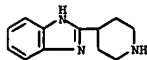
L4 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R = H, OH, or absent; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo- or heterocyclic ring; Ar1 = (un)substituted mono- or bicyclic (hetero)aryl; Ar2 = (un)substituted (un)saturated (non)aromatic mono- or bicyclic ring system with 0-5 heteroat. ring moieties selected from O, S, N, SO2, and CO; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); W = O, S, CO CONH, NHCO, (un)substituted NH or CH2; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 350 individual compds. I were prepared and/or claimed, with detailed prepn. given for 31 compds. For instance, 6-chloro-1-(piperidin-4-yl)-3,4-dihydro-1H-quinolin-2-one (prepared in 6 steps) reacted with the corresponding epoxide (prepared in several steps) to give title compound II. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.01 µM. Compound III is one of two specifically preferred compds.  
 IT 38385-95-4P, 2-Piperidin-4-yl-1H-benzimidazole  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate: preparation of piperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I; X = NR1R2, NR3COR4, NR5COR4, NR5CH2CH2NR6R7, NR8O2R9, OR10, O2CR11; wherein R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or they are linked to each other to form a heterocyclyl containing 1 or 2 N atoms or O which may be a spiro ring and is optionally fused to an (un)substituted aromatic ring; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl; R5, R6, R7 = H, alkyl, acyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl, or which is optionally fused to an (un)substituted aromatic ring; R8, R9, R10, R11 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] or pharmacol. acceptable salts thereof are prepared. These compds. are useful for the treatment of DPP-IV related diseases such as diabetes, obesity, HIV infection, cancer metastasis, skin diseases, prostatic hypertrophy (prostatomegaly), pericementitis, or autoimmune diseases. Thus, a solution of 0.924 g (S)-1-[(2S,4S)-4-amino-1-tert-butoxycarbonyl-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine (preparation given), 1.7 mL diisopropylethylamine, and 0.78 g 2-chloro-4-fluorobenzonitrile in 10 mL N-methyl-2-pyrrolidone were stirred at 80° for 4 h to give 0.94 g (S)-1-[(2S,4S)-1-tert-butoxycarbonyl-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine which (0.93 g) was treated with HCl/EtOAc at room temperature for 15 h to give (S)-1-[(2S,4S)-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine hydrochloride (II). II showed IC50 of 0.13 and 0.15 nM against human blood plasma DPP-IV and rat blood plasma DPP-IV, resp.  
 IT 38385-95-4P, 4-(2-Benzimidazolyl)piperidine 295790-49-7P  
 401568-55-6P 401568-60-3P 401568-63-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of proline derivs. as dipeptidyl peptidase IV (DPP-IV) inhibitors for treating DPP-IV related diseases)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



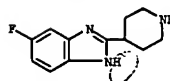
RN 295790-49-7 CAPLUS  
 CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:142666 CAPLUS  
 DOCUMENT NUMBER: 136:200479  
 TITLE: Preparation of proline derivatives as dipeptidyl peptidase IV (DPP-IV) inhibitors and use thereof as drugs  
 INVENTOR(S): Kitajima, Hiroshi; Sakashita, Hiroshi; Akahoshi, Fumihiko; Hayashi, Yoshiharu  
 PATENT ASSIGNER(S): Welfide Corporation, Japan  
 SOURCE: PCT Int. Appl., 340 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

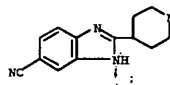
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014271	A1	20020221	WO 2001-JP6906	20010810
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BV, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2418656	AA	20020221	CA 2001-2418656	20010810
AU 2001077754	A5	20020225	AU 2001-77754	20010810
EP 1308439	A1	20030507	EP 2001-955660	20010810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013146	A	20030624	BR 2001-13146	20010810
NZ 524618	A	20040827	NZ 2001-524618	20010810
NO 2003000619	A	20030226	NO 2003-619	20030207
US 2004106655	A1	20040603	US 2003-344255	20030210
US 2005245538	A1	20051103	US 2005-142523	20050602
PRIORITY APPLN. INFO.:				
			JP 2000-243217	A 20000810
			JP 2000-400296	A 20001228
			WO 2001-JP6906	W 20010810
			US 2003-344255	A3 20030210

OTHER SOURCE(S): MARPAT 136:200479  
 GI

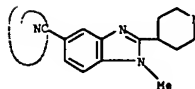
L4 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



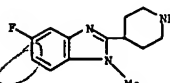
RN 401568-55-6 CAPLUS  
 CN 1H-Benzimidazole-5-carbonitrile, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 401568-60-3 CAPLUS  
 CN 1H-Benzimidazole-5-carbonitrile, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 401568-63-6 CAPLUS  
 CN 1H-Benzimidazole, 5-fluoro-1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:896499 CAPLUS

DOCUMENT NUMBER: 136:20072

TITLE: 1-Benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivatives and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases

INVENTOR(S): Burkholder, Timothy P.; Bratton, Larry D.; Kudlacz, Elizabeth M.; Maynard, George P.; Kane, John H.; Santiago, Braulio

PATENT ASSIGNEE(S): Aventis Pharmaceuticals, Inc., USA  
SOURCE: U.S., 77 pp., Cont.-in-part of U.S. Ser. No. 501,914, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

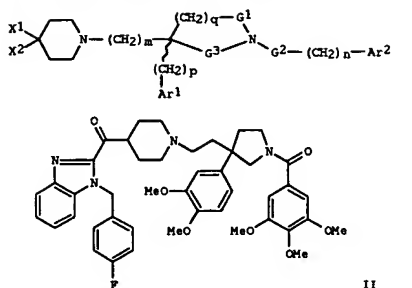
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329392	B1	20011211	US 1998-79924	19980515
CA 2198084	AA	19960229	CA 1995-2198084	19950817
CA 2198084	C	20000328		
CN 1158612	A	19970903	CN 1995-195283	19950817
CN 1067385	B	20010620		
HU 76644	A2	19971028	HU 1997-1257	19950817
HU 221434	B	20021028		
AT 177095	E	19990315	AT 1995-931551	19950817
ES 2132709	T3	19990816	ES 1995-931551	19950817
ZA 9507033	A	19960416	ZA 1995-7033	19950822
IL 115040	A1	20000229	IL 1995-115040	19950823
TW 430663	B	20010421	TW 1995-84108797	19950823
PRIORITY APPL. INFO.:			US 1994-295960	B2 19940825
			US 1995-501914	B2 19950713

OTHER SOURCE(S): MARPAT 136:20072

GI

L4 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The present invention relates to novel substituted piperidine derivs. I wherein: G1 is CH2 or CO; G2 is CH2 or CO; G3 is CH2 or CO; m is 2 or 3; n is 0 or 1; q is 1 or 2; p is 0 or 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thienyl; Ar2 = (un)substituted Ph, pyridyl; X1 and X2 are defined in one of (A), (B), or (C): (A) X1 = H and X2 = substituted benzothiazole-2-carbonyl, diphenylmethyl, benzimidazolyl-2-carbonyl; (B) X1 = OH and X2 = substituted benzothiazole-2-yl, benzimidazol-2-yl; (C) X2 = (R5CGH4)C(Z1)(C6H4R6) wherein R5, R6 = from 1 to 3 substituents chosen independently from, e.g., H, halo, CF3, and X1 and Z1 taken together form a second bond between the carbon atoms bearing X1 and Z1, provided that when G1 is CO, then G2 and G3 are CH2, and that when G2 is CO, then G1 and G3 are CH2, and that when G3 is CO, then G1 and G2 are CH2; stereoisomers thereof, and pharmaceutically acceptable salts thereof which are useful as histamine receptor antagonists and tachykinin receptor antagonists. Such antagonists are useful in the treatment of allergic diseases including: seasonal rhinitis, allergic rhinitis, and sinusitis. Thus, e.g., substitution reaction of 4-[1-(4-fluorobenzyl)-1H-benzimidazole-2-carbonyl]piperidine with 1-(3,4,5-trimethoxybenzoyl)-3-(3,4-dimethoxyphenyl)-3-(2-methanesulfonyloxyethyl)pyrrolidine (preparation given)

afforded II which exhibited H1 receptor antagonism in vitro with pA2 = 7.50, and NK1 receptor binding affinity with IC50 = 31 nM.

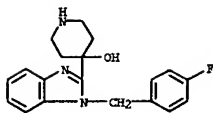
IT 178370-57-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(1-benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for treatment of allergic diseases)

RN 178370-57-5 CAPLUS

CN 4-Piperidinol, 4-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]- (9CI)  
(CA INDEX NAME)

L4 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

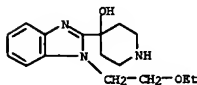


IT 178372-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(1-benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for treatment of allergic diseases)

RN 178372-40-2 CAPLUS

CN 4-Piperidinol, 4-[1-(2-ethoxyethyl)-1H-benzimidazol-2-yl]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 68

THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:300709 CAPLUS

DOCUMENT NUMBER: 134:311197

TITLE: Tetrahydrobenzindolone derivatives, their preparation and their use as 5-HT7 receptor antagonists

INVENTOR(S): Bromidge, Steven Mark; Gribble, Andrew Derrick; Lovell, Peter John; Witherington, Jason

PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 25 pp.

DOCUMENT TYPE: CODEN: P1XXD2

LANGUAGE: Patent

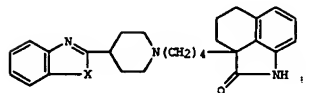
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029029	A1	20010426	WO 2000-EP10149	20001013
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, CH, CY, CZ, DE, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1222185	A1	20020717	EP 2000-971384	20001013
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003512372	T2	20030402	JP 2001-531828	20001013
PRIORITY APPL. INFO.:			GB 1999-24628	A 19991018
			GB 2000-6168	A 20000314
			GB 2000-18952	A 20000803
			WO 2000-EP10149	W 20001013

OTHER SOURCE(S): MARPAT 134:311197

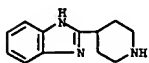
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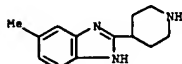
AB Title compds. such as I (X = NH, O, S) were prepared as 5-HT7 receptor antagonists. Thus, triazabicyclo[4.4.0]dec-5-ene bound to polystyrene crosslinked with 2% divinylbenzene (500 mg) was added to a shaken solution of

4-benzimidazol-2-ylpiperidine (100 mg) and 2a-(4-bromobutyl)-2a,3,4,5-tetrahydro-1H-benz[c,d]indol-2-one (200 mg) in 10 mL DMF, and after 3 days the solution was decanted onto SCK resin and eluted with 20 mL methanol followed by 20 mL 1N methanolic NH3 to give I (X = NH) in 58% yield. I were separated into enantiomers by HPLC. When tested for their affinity for the 5-HT7 receptor, the products showed pKi >6.0, and preferred examples had pKi 8.0-9.2.

L4 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 IT 38385-95-4 295790-48-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (tetrahydrobenzimidazole derivs. as 5-HT7 receptor antagonists)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



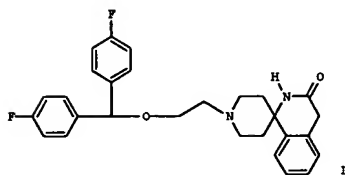
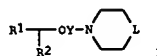
RN 295790-48-6 CAPLUS  
 CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

L4 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:38487 CAPLUS  
 DOCUMENT NUMBER: 134:115853  
 TITLE: Preparation and immunity inhibitory effect of nitrogen containing saturated heterocycles  
 INVENTOR(S): Shirasahi, Akio; Tatsuda, Toru; Nishi, Takehide  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 66 pp.  
 CODEN: JXXXXF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

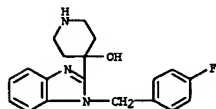
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001011050	A2	20010116	JP 2000-120206	20000421
PRIORITY APPL. INFO.: OTHER SOURCE(S): GI		MARPAT 134:115853	JP 1999-124046	A 19990430



AB Title compds. [I; R1 = 4-FC6H4, 4-ClC6H4; R2 = 4-FC6H4, 4-ClC6H4; Y = (CH2)n; n = 2, 3, 4, 5, 6; L = C(CONH2)R3, CHCONHCH2C6H5, CH(CH3)COOC2H5, (un)-substituted-spiroheterocyclyl; R3 = OH, C6H5, 2-pyridyl, 4-CH2C6H4Cl], salts, ester, or other derivs. which possess the TH 1 immunity inhibitory effect. Thus, the title compound II was prepared and tested.

IT 320420-02-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)

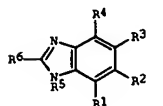
L4 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 (prepn. and immunity inhibitory effect of nitrogen contg. satd. heterocycles)  
 RN 320420-02-8 CAPLUS  
 CN 4-Piperidinol, 4-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HC1

L4 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:12443 CAPLUS  
 DOCUMENT NUMBER: 134:86539  
 TITLE: Preparation of benzimidazolecarboxylic acid amino acid amides as 1x8 kinase inhibitors.  
 INVENTOR(S): Ritzeler, Olaf; Stiltz, Hans Ulrich; Neises, Bernhard; Bock, William Jerome, Jr.; Walser, Armin; Flynn, Gary A.  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000610	A1	20010104	WO 2000-EP5340	20000609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, CA, CH, CN, CU, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19928424	A1	20001228	DE 1999-19928424	19990623
DE 10006297	A1	20010816	DE 2000-10006297	20000212
CA 2377085	AA	20010104	CA 2000-2377085	20000609
ER 2000012450	A	20020402	BR 2000-12450	20000609
EP 1194425	A1	20020410	EP 2000-938780	20000609
EP 1194425	B1	20050810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503400	T2	20030128	JP 2001-507019	20000609
KE 200100619	A	20030217	KE 2001-619	20000609
NZ 516348	A	20030630	NZ 2000-516348	20000609
AU 769350	B2	20040122	AU 2000-54042	20000609
AT 301651	E	20050815	AT 2000-938780	20000609
RU 2261248	C2	20050927	RU 2002-101485	20000609
NO 2001006154	A	20020219	NO 2001-6154	20011217
HK 1047582	A1	20050304	HK 2002-108645	20021129
PRIORITY APPL. INFO.: OTHER SOURCE(S): GI				
		MARPAT 134:86539	WO 2000-EP5340	W 20000609



L4 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [1; 1 of R1-R4 = DNR8CHR92; 0 = CO, SO, SO<sub>2</sub>; R8 = H, alkyl; R9 = amino acid residue, (substituted) aryl, heteroaryl, heterocyclyl, alkyl, etc.; Z = (substituted) aryl, heteroaryl, heterocyclyl, etc.; the remainder of R1-R4 = H, halo, alkyl, (substituted) heteroaryl, heterocyclyl, alkyl, cyano, aralkoxy, alkoxy, etc.; R5 = H, OH, O; R6 = (substituted) aryl, Ph, heteroaryl, heterocyclyl], were prepared Thus, 2-pyrid-4-ylbenzimidazol-4-carboxylic acid (preparation given), H-Leu-OMe, TOTU, and (Me<sub>2</sub>CH)2EtN were stirred in MeCN to give 98% 2-pyrid-4-ylbenzimidazol-4-carboxylleucine Me ester. 1 inhibited

1kB kinase with IC<sub>50</sub> = 0.07-72 μM.  
IT 316832-96-9P 316832-98-1P 316833-01-9P  
316833-02-0P 316833-03-1P

AL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzimidazolecarboxylic acid amino acid amides as 1kB Kinase inhibitors)

RN 316832-96-9 CAPLUS

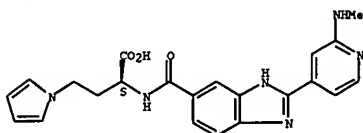
CN 1H-Pyrrole-1-butanoic acid, α-[[[2-[2-(methylamino)-4-pyridinyl]-1H-benzimidazol-5-yl]carbonyl]amino]-, (αS)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 316832-95-8

CMF C22 H22 N6 O3

Absolute stereochemistry.



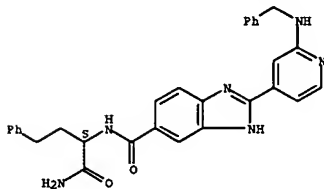
CM 2

CRN 76-05-1

CMF C2 H F3 O2



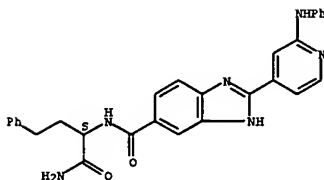
L4 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 316833-02-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[[[2-[2-(phenylamino)-4-pyridinyl]-3-phenylpropyl]-2-[2-(phenylamino)-4-pyridinyl]- (9CI) (CA INDEX NAME)

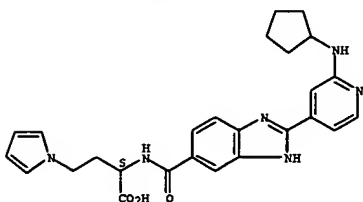
Absolute stereochemistry.



RN 316833-03-1 CAPLUS

CN 1H-Pyrrole-1-butanoic acid, α-[[[2-[2-(cyclopentylamino)-4-pyridinyl]-1H-benzimidazol-5-yl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



<1/13/2006>

Habte

L4 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 316832-98-1 CAPLUS

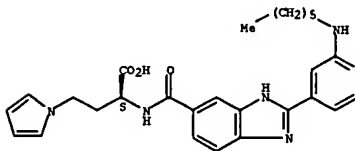
CN 1H-Pyrrole-1-butanoic acid, α-[[[2-[2-(hexylamino)-4-pyridinyl]-1H-benzimidazol-5-yl]carbonyl]amino]-, (αS)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 316832-97-0

CMF C27 H32 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 316833-01-9 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[[[2-[2-(aminocarbonyl)-3-phenylpropyl]-2-[2-(phenylmethylamino)-4-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

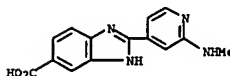
L4 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 316833-31-5P

AL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of benzimidazolecarboxylic acid amino acid amides as 1kB Kinase inhibitors)

RN 316833-31-5 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[2-(methylamino)-4-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

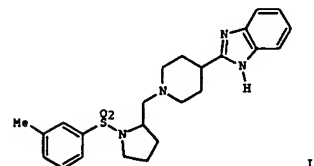
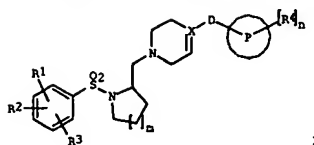
26

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STM  
 ACCESSION NUMBER: 2000:861674 CAPLUS  
 DOCUMENT NUMBER: 134:29433  
 TITLE: Preparation of sulfonamide compounds with 5-HT7 antagonist activity  
 INVENTOR(S): Lovell, Peter John  
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073299	A1	20001207	WO 2000-EP4893	20000525
W:	AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1181287	A1	20020227	EP 2000-935141	20000525
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003500488	T2	20030107	JP 2000-621365	20000525
US 2003130275	A1	20030710	US 2002-305450	20021127
PRIORITY APPLN. INFO.:			GB 1999-12701	A 19990601
			WO 2000-EP4893	W 20000525
			US 2001-979472	B1 20011114
OTHER SOURCE(S):	MARPAT 134:29433			
GI				

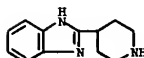
L4 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STM (Continued)



AB The title compds. [I; R1-R3 = H, halo, OH, etc.; m = 1-2; X = N, C, CH; D = a bond, CO, O, CH2, with the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl containing 1-3 heteroatoms selected from O, N and S, etc.; R4 = alkyl optionally substituted by NR5R6, aryl, arylalkyl, etc.; R5, R6 = H, alkyl, aryl, etc.; n = 0-3] having 5-HT7 antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepared. E.g., a multi-step synthesis of (R)-II was given. All compds. I tested had a pKi of 6.0-7.9 against 5-HT7 receptor binding.

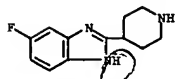
IT 38385-95-4P 295780-49-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of sulfonamide compds. with 5-HT7 antagonist activity)

RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-49-7 CAPLUS  
 CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

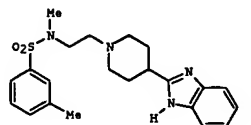
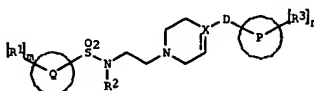
L4 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STM (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

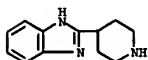
L4 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STM  
 ACCESSION NUMBER: 2000:688218 CAPLUS  
 DOCUMENT NUMBER: 133:252456  
 TITLE: Preparation of N-[2-piperazino(or piperidino)ethyl] benzenesulfonamides and thiophenesulfonamides as 5-HT7 receptor antagonists  
 INVENTOR(S): Lovell, Peter John  
 PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056712	A1	20000928	WO 2000-EP2267	20000314
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1163221	A1	20011219	EP 2000-916945	20000314
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 6660751	B1	20031209	US 2001-937043	20010920
PRIORITY APPLN. INFO.:			GB 1999-6624	A 19990323
			WO 2000-EP2267	W 20000314
OTHER SOURCE(S):	MARPAT 133:252456			
GI				

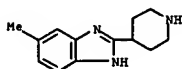


L4 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

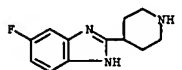
AB The title compds. [1: Q = Ph, thienyl; R1 = halo, OH, alkyl, etc.; m = 0-3; R2 = alkyl; X = N, C, CH; D = a single bond; CO, O, CH2 subject to the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl containing 1-3 heteroatoms selected from O, N and S, etc.]  
 R3 = (un)substituted alkyl; n = 0-3 having 5-HT7 receptor antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepared E.g., a multi-step synthesis of benzenesulfonamide II was given. All compds. I tested had a pKi of 6.2-9.0 against 5-HT7 receptor binding.  
 IT 38385-95-4P 295790-48-6P 295790-49-7P  
 295790-50-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of N-[2-piperazino(or piperidino)ethyl] benzenesulfonamides and thiophenesulfonamides as 5-HT7 receptor antagonists)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-48-6 CAPLUS  
 CN 1H-Benzimidazole, 5-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 295790-49-7 CAPLUS  
 CN 1H-Benzimidazole, 5-fluoro-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

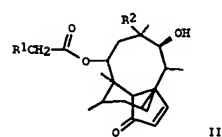
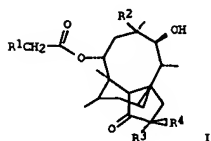


RN 295790-50-0 CAPLUS  
 CN 1H-Benzimidazol-5-ol, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 ACCESSION NUMBER: 2000:441625 CAPLUS  
 DOCUMENT NUMBER: 133:68909  
 TITLE: Mutilin 14-ester derivatives having antibacterial activity  
 INVENTOR(S): Brooks, Gerald; Hunt, Eric  
 PATENT ASSIGNER(S): Salthkline Beecham P.L.C., UK  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037074	A1	20000629	WO 1999-EP9577	19991207

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TG  
 PRIORITY APPL. INFO.: MARPAT 133:68909 GB 1998-28005 A 19981210  
 OTHER SOURCE(S): GI

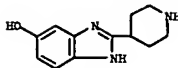


AB The invention discloses compds. I and II (R1 = (un)substituted heteroaryl

<1/13/2006>

Hahte

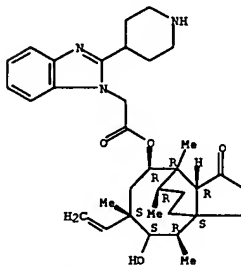
L4 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



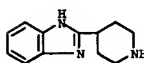
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 comprising 5-membered heteroarom. ring with 21 N and linked via N; R2 = vinyl, ethyl; R3 = H, OH, F; R4 = H, or R3 is H and R4). Compd. prepn. is included. Antibacterial activity against Staphylococcus aureus and Streptococcus pneumoniae was detd.  
 IT 278797-44-7P  
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (mutilin 14-ester derivs. with antibacterial activity)  
 RN 278797-44-7 CAPLUS  
 CN 1H-Benzimidazole-1-acetic acid, 2-(4-piperidinyl)-, (3aS,4R,5S,6S,8R,9R,9aR,10R)-6-ethanylethyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 38385-95-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction: mutilin 14-ester derivs. with antibacterial activity)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

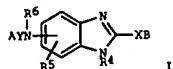


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



14 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 2000:356164 CAPLUS  
 DOCUMENT NUMBER: 133:805  
 TITLE: Benzimidazole derivatives as neovasacularization  
 inhibitors and pharmaceutical compositions containing  
 them  
 INVENTOR(S): Kubo, Keiji; Hori, Akira; Kusaka, Masami  
 PATENT ASSIGNER(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 77 pp.  
 CODEN: JKOKAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000143635	A2	20000526	JP 1999-158035	19990604
PRIORITY APPLN. INFO.:			JP 1998-162489	A 19980610
			JP 1998-246689	A 19980901
OTHER SOURCE(S):	MARPAT	133:805		
GI				

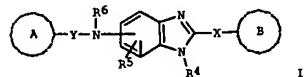


AB Neovascularization inhibitors contain the derivs. I [ring A = (un)substituted phenyl; ring B = (un)substituted cyclyl; R4, R6 = (1) H, (ii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino, 5-7-membered cyclic amino, CO2H, or C2-7 alkoxy carbonyl, (iii) C2-6 alkenyl, (iv) C2-7 cycloalkyl, (v) C7-13 aralkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino, mono- or di(C1-6 alkyl)amino, (vi) C2-7 alkoxy carbonyl; R5 = (i) H, (ii) halo, (iii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl)amino and halo, (iv) C1-6 alkoxy, (v) C2-7 alkoxy carbonyl, (vi) mono- or di(C1-6 alkyl)amino, (vii) aryl carbamoyl which may be substituted with C6-6 alkyl or C7-13 aralkyl; X = C, S, bond, (iii) C1-alkylene, (iii) C2-alkylene, C6-alkylene, C6-alkylene-amino carbonyl, (v) C1-6 alkylene-oxy carbonyl; amino group Y = CO, SO2, NHCO, C1-6 alkylencarbonyl, C2-6 alkylene carbonyl, C1-6 alkylene or their pharmaceutically acceptable salts. Also claimed are pharmaceutical compounds containing I or their salts for treatment of neoplasm, inflammatory diseases, diabetes, etc. 13C13 NMR IC50 values: 10-1000 nM. 13-3-methoxy-4-(4-pyridyl)-methylphenylbenzylaminobenzimidazole (preparation given) against recombinant VEGF-induced proliferation of HUVEC was 0.012 µM.

IT 263022-65-7P  
RL: BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), SPN (Synthetic preparation), VTH (Therapeutic use), BIC (Biological investigation), U (Use), US (Uses)  
(preparation of benzimidazole compounds, as neovascularization inhibitors)

L4 ANSWER 30 OF 61 CAPIUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2000:214835 CAPIUS  
DOCUMENT NUMBER: 132:265201  
TITLE: Preparation of imidazole derivatives as  
gonadotropin-releasing hormone antagonists  
INVENTOR(S): Suzuki, Nobuhiko; Takekawa, Shiro; Kubo  
Masada, Yasuhiro  
PATENT ASSIGNER(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.  
CODEN: JKOXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000095767	A2	20000404	JP 1998-273013	19980928
PRIORITY APPLN. INFO.:			JP 1998-273013	19980928
OTHER SOURCE(S):	MARPAT	132:265201		
GI				



AB Claimed are gonadotropin-releasing hormone (GnRH) antagonists containing the title compds. [I] ring A = (un)substituted ph; ring B = (un)substituted cycloic group; R4, R6 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, (un)substituted C7-13 aralkyl, C2-7 alkoxycarbonyl; R5 = H, halo, (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-7 alkoxycarbonyl, etc.; X = bond, C1-6 alkylene, C2-6 alkenylene, C1-6 alkylene-NHCO, C1-6 alkylene-O2NH2; Y = CO, SO2, NHCO, C1-6 alkylene-CO, C2-6 alkylene-CO, C1-6 alkylene) or pharmacol. acceptable salts thereof. These compds. are useful for the prevention and treatment of hormone-dependent diseases such as sex hormone-dependent cancer, prostate cancer, uterine cancer, breast cancer, prostatic hypertrophy, true precocious puberty, endometriosis, hysteroxyoma, pregnancy regulators, and menstruation regulators. Thus, 5-amino-2-(4-methoxyphenyl)benzimidazole was condensed with 4-pyrrolidinobenzoic acid using di-Et cyanophosphate in the presence of Et3N and 4-dimethylaminopyridine in DMF at room temperature

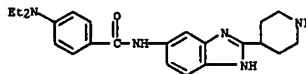
for

1 h to give 4[1,2-(4-methoxyphenyl)-5-(4-pyrrolidinobenzo[yl]amino)benzimidazole (II). II in vitro showed IC50 of µg/mL for inhibiting the binding of [125I]upreulin to a membrane sample of CHO cell expressing human GnRH receptor.

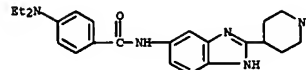
IT 263022-65-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRP (Preparation); USES (Uses)  
(preparation of imidazole derivs. as gonadotropin-releasing hormone antagonists for drugs)

RN 263022-65-7 CAPLUS  
CN Benzamide, 4-((diethylamino)-N-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]-

L4 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 263022-65-7 CAPLUS  
 CN Benzamide, 4-(diethylamino)-N-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]-  
 (9CI) (CA INDEX NAME)



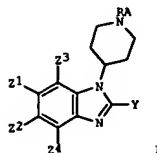
L4 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
(9CI) (CA INDEX NAME)



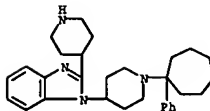
L4 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 2000:117042 CAPLUS  
 DOCUMENT NUMBER: 132:151821  
 TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.  
 INVENTOR(S): Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi  
 PATENT ASSIGNER(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 127 pp.  
 CODEN: PIXK2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200008013	A2	20000217	WO 1999-1B1239	19990705
WO 200008013	A3	20000323		
W: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MV, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TV 513424	B	20021211	TV 1999-88110899	19990628
CA 2339621	AA	20000217	CA 1999-2339621	19990705
CA 2339621	C	20050405		
AU 9943859	A1	20000228	AU 1999-43859	19990705
AU 749166	B2	20020620		
EP 1102762	A2	20010530	EP 1999-926688	19990705
EP 1102762	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100403	T2	20010723	TR 2001-200100403	19990705
BR 9912778	A	20010925	BR 1999-12778	19990705
EE 200100075	A	20020617	EE 2001-75	19990705
JP 2002522431	T2	20020723	JP 2000-563646	19990705
JP 3367945	B2	20030120		
AT 227716	E	20021115	AT 1999-926688	19990705
PT 1102762	T	20030228	PT 1999-926688	19990705
ES 2185357	T	20030416	ES 1999-926688	19990705
NZ 509299	A	20030530	NZ 1999-509299	19990705
US 6172067	B1	20010109	US 1999-369208	19990805
ZA 2001000900	A	20020603	ZA 2001-900	20010201
HR 200100089	A1	20020228	HR 2001-89	20010202
HR 20010089	B1	20030430		
NO 2001000603	A	20010405	NO 2001-603	20010205
BG 105301	A	20011231	BG 2001-105301	20010301
US 2003109549	A1	20030612	US 2002-283604	20021030
PRIORITY APPLN. INFO.:			WO 1998-1B1206	V 19980806
			WO 1999-1B1239	V 19990705
			US 1999-369208	A3 19990805
			US 2000-676245	B1 20000929

L4 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
 OTHER SOURCE(S): MARPAT 132:151821  
 GI

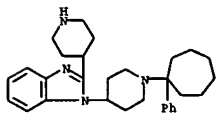


AB Title compds. [I; R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclyl; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkenyl-M, alkyl-NH-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NH S, SO, SO2, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.], were prepared as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (preparation given) was stirred with MeNH2 in MeOH in an autoclave at 110° for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.  
 IT 258286-80-5P 258287-40-0P 258288-22-1P 258288-24-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)  
 RN 258286-80-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



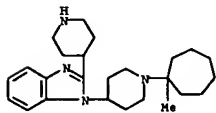
RN 258287-40-0 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

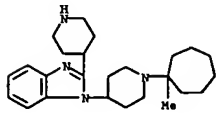


●3 HCl

RN 258288-22-1 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



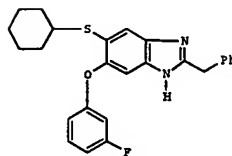
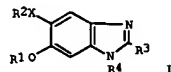
RN 258288-24-3 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

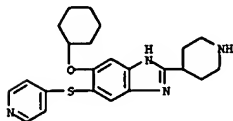
L4 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 2000:59980 CAPLUS  
 DOCUMENT NUMBER: 132:122619  
 TITLE: Preparation of 2,5,6-substituted benzimidazole derivatives  
 INVENTOR(S): Saito, Shuji; Matsumoto, Taro; Nakamura, Toshio  
 PATENT ASSIGNER(S): Taisho Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.  
 CODEN: JKKXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000026430	A2	20000125	JP 1998-202744	19980702
PRIORITY APPLN. INFO.:			JP 1998-202744	19980702
OTHER SOURCE(S):			MARPAT 132:122619	
GI				



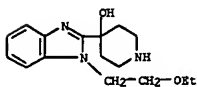
AB Title compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, aryl, pyridyl; R3 = H, alkyl, haloalkyl; R4 = H, alkyl, alkoxy, (CH2)n, (CH2)nAr; n = 1-5; A = alkyl, alkoxy; Y = O, S] and pharmaceutical acceptable salts are prepared and tested as antiinflammatory agents having IL-1, IL-5, IL-6 inhibition effects and are useful as antiallergy agents in the treatment of chronic rheumatism in autoimmune diseases, osteoporosis in bone diseases. Thus, the title compound II was prepared  
 IT 255918-12-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted benzimidazole derivs.)  
 RN 255918-12-8 CAPLUS

L4 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2006 ACS on STM (Continued)  
 CN 1H-Benzimidazole, 5-(cyclohexyloxy)-2-(4-piperidinyl)-6-(4-pyridinylthio)-, hydrochloride (9CI) (CA INDEX NAME)



• x HCl

L4 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2006 ACS on STM (Continued)  
 AB The invention relates to novel substituted N-methyl-N-(4-(piperidin-1-yl)-2-(aryl)butyl)benzamide derivs. I [R1 = (1-3 of) H, halo, alkyl, alkoxy; R2 = H, (substituted) tetrazolyl, 1,2,4-triazolyl; Ar1 = (substituted) Ph, naphthyl, pyridyl, thienyl; X1 = H, OH; X2 = (substituted) benzothiazolyl-2-carbonyl, benzimidazol-2-ylcarbonyl, benzimidazolyl, diphenylmethyl, etc., depending upon X1], and pharmaceutically acceptable salts thereof. The compds. are useful as histamine receptor antagonists and tachykinin receptor antagonists (no data). Such antagonists are useful in the treatment of allergic rhinitis (including seasonal rhinitis and sinusitis), inflammatory bowel diseases (including Crohn's disease and ulcerative colitis), asthma, bronchitis, and emesis. For instance, title compound II, a preferred compound, was prepared in several steps, culminating in the N-alkylation of the corresponding 4-substituted piperidine fragment with the appropriate methanesulfonate ester in refluxing MeCN.  
 IT 178372-40-29  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of methyl[(piperidinyl)(aryl)butyl]benzamides for the treatment of allergic diseases)  
 RN 178372-40-2 CAPLUS  
 CN 4-Piperidinol, 4-[1-(2-ethoxyethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

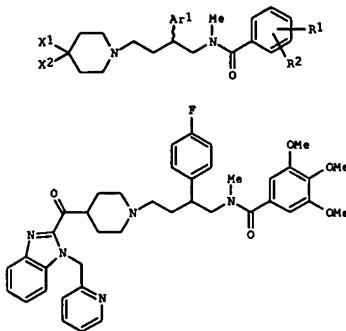


REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2006 ACS on STM  
 ACCESSION NUMBER: 1999:779223 CAPLUS  
 DOCUMENT NUMBER: 132:12309  
 TITLE: Preparation of N-methyl-N-(4-(piperidin-1-yl)-2-(aryl)butyl)benzamides for the treatment of allergic diseases.  
 INVENTOR(S): Maynard, George P.; Kane, John M.; Bratton, Larry D.; Kudlacz, Elizabeth M.  
 PATENT ASSIGNER(S): Hoechst Marion Roussel, Inc., USA  
 SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 771,544, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

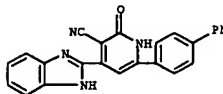
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5998439	A	19991207	US 1998-79692	19980515
US 6297259	B1	20011002	US 1999-328964	19990609
PRIORITY APPLN. INFO.:			US 1996-37569P	P 19960221
			US 1996-771544	B2 19961223
			US 1998-79692	A3 19980515

OTHER SOURCE(S): HARPAT 132:12309  
 GI



II

L4 ANSWER 34 OF 61 CAPLUS COPYRIGHT 2006 ACS on STM  
 ACCESSION NUMBER: 1999:185916 CAPLUS  
 DOCUMENT NUMBER: 130:281967  
 TITLE: Synthesis and reaction of cyanopyridone derivatives and their potential biological activities  
 AUTHOR(S): Salman, Asmaa Said Salem  
 CORPORATE SOURCE: Chemistry Department, Faculty Science, Girl's Branch, Al-Azhar University, Nasr, Egypt  
 SOURCE: Pharmazie (1999), 54(3), 178-183  
 CODEN: PHARAT; ISSN: 0031-7144  
 PUBLISHER: Govi-Verlag Pharmazeutischer Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 130:281967  
 AB 4-Carboxy-3-cyano-6-biphenyl-2-pyridone (I) was prepared. On reaction with MeI, PhSO2Cl, PhNCS, Ac2O, 1,2-(H2N)C6H4, PhMgBr, or P2S5, I affords the corresponding N-substituted 2-pyridones, a 4-(benzimidazol-2-yl)-2-pyridone, a 2-hydroxy-2-phenyl-1,2-dihydropyridine, and 2-thiopyridones. Treatment of I with Me2SO4 or POCl3 gives 2-methoxy- and 2-chloro-3-cyano-6-biphenylpyridine-4-carboxylate, resp. Reaction of the latter compound with amines and N2H4 afforded the corresponding 2-amino and 2-hydrazino derivs., resp. The structural assignments of the new compds. were based on anal., spectroscopic measurements and chemical reactions. Some of the obtained compds. showed antibacterial and antifungal activities in vitro.  
 IT 222734-41-09  
 RL: BAC (Biological activity or effector, except adverse); RSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of cyanopyridones and derivs. with antibacterial and antifungal activity)  
 RN 222734-41-0 CAPLUS  
 CN 3-Pyridinecarbonitrile, 4-(1H-benzimidazol-2-yl)-6-[1,1'-biphenyl]-4-yl-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1998:545375 CAPLUS

DOCUMENT NUMBER: 129:148993

TITLE: Preparation and formulation of  $\alpha$ -(heteroaryloxy)alkanamines as serotonin reuptake inhibitors and 5-HT<sub>1A</sub> receptor ligands  
 Inventor(s): Audia, James E.; Hibschaan, David J.; Krushinski, Joseph H., Jr.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.  
 Patent Assignee(s): Eli Lilly Co., USA  
 Source: U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 373,823, abandoned.  
 Coden: USXKAM

Document Type: Patent  
 Language: English  
 Family Acc. Num. Count: 6  
 Patent Information:

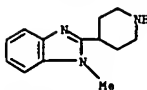
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5789402	A	19980804	US 1995-471121	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111
PRIORITY APPLN. INFO.:			US 1995-373823	B2 19950117
OTHER SOURCE(S):		MARPAT 129:148993		

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AB Title compds. [I; R<sub>1</sub> = (CH<sub>2</sub>)<sub>r</sub>CHXCH<sub>2</sub>(CH<sub>2</sub>)<sub>s</sub>R; r = 0-4; s = 0-1; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, piperidino, etc.] were prep'd as serotonin reuptake inhibitors and 5-HT<sub>1A</sub> receptor ligands (no data). Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH gave (2S)-(-)-I [R<sub>1</sub> = CH<sub>2</sub>CH(OH)CH<sub>2</sub>R, R = 1-benzyl-4-piperidinylamino].  
 IT 180160-86-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of heteroaryloxy alkanamines having effects on serotonin-related systems)  
 RN 180160-86-5 CAPLUS  
 CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 1998:250697 CAPLUS

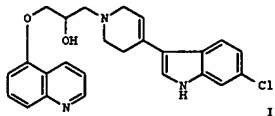
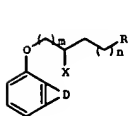
DOCUMENT NUMBER: 128:294709

TITLE: Heterocyclyloxyalkanamines having effects on serotonin-related systems  
 Inventor(s): Hibschaan, David J.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.  
 Patent Assignee(s): Eli Lilly and Co., USA  
 Source: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.  
 Coden: USXKAM

Document Type: Patent  
 Language: English  
 Family Acc. Num. Count: 6  
 Patent Information:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5741789	A	19980421	US 1995-467434	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111
US 6172073	B1	20010109	US 1998-49837	19980327
PRIORITY APPLN. INFO.:			US 1995-373823	B2 19950117
OTHER SOURCE(S):		MARPAT 128:294709	US 1995-467434	A3 19950606

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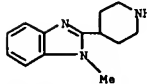
AB A series of heterocyclyloxy-substituted alkanamines I (m = 0-4; n = 0-1; D = atoms to complete fused pyrrole, imidazole, pyrido, pyrazino, pyridazino, or pyrimidino nucleus (only pyrido is claimed); X = H, Ph, OH, MeO; R = H or Ph when m = 0; R = certain (un)substituted cyclic, bicyclic, and spirocyclic amino groups) are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT<sub>1A</sub> receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 standard formulation examples are given. In the only example of a claimed compound (quinoline-derived, D = pyrido), reaction of (R)-5-(oxiranylmethoxy)quinoline with 6-chloro-2-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole in EtOH gave the preferred compound II in 87% yield.  
 IT 180160-86-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; preparation of heterocyclyloxyalkanamines as serotonin

L4 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

1A antagonists and reuptake inhibitors)

RN 180160-86-5 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

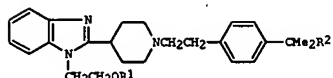


REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1998:126216 CAPLUS  
 DOCUMENT NUMBER: 128:140702  
 TITLE: Benzimidazole derivatives with antihistaminic activity  
 INVENTOR(S): Orjales, Aurelio; Rubio, Victor; Bordell, Maravillas  
 PATENT ASSIGNER(S): Fabrica Espanola de Productos Quimicos y  
 Farmaceuticos, S.A. (Faes), Spain  
 SOURCE: Eur. Pat. Appl., 11 pp.  
 CODEN: EPYKXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

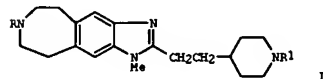
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 818454	A1	19980114	EP 1997-500099	19970603
EP 818454	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
ES 2124167	A1	19990116	ES 1996-1236	19960604
ES 2124167	B1	19990916		
CA 2206754	AA	19971204	CA 1997-2206754	19970603
NO 9702525	A	19971205	NO 1997-2525	19970603
NO 313195	B1	20020826		
AU 9724672	A1	19971211	AU 1997-24672	19970603
AU 725700	B2	20001019		
ZA 9704893	A	19971230	ZA 1997-4893	19970603
HR 970307	B1	20020228	HR 1997-970307	19970603
RU 2182150	C2	20020510	RU 1997-108980	19970603
AT 264317	E	20040415	AT 1997-500099	19970603
PT 818454	T	20040831	PT 1997-500099	19970603
JP 10059961	A2	19980303	JP 1997-162010	19970604
CN 1176964	A	19980325	CN 1997-114905	19970604
CN 1105716	B	20030416		
US 5877187	A	19990302	US 1997-868743	19970604
IN 186319	A	20010804	IN 1997-DE1498	19970604
CZ 289278	B6	20011212	CZ 1997-1723	19970604
BR 9703276	A	20040817	BR 1997-3276	19970604
PL 188908	B1	20050531	PL 1997-320358	19970604
TW 438794	B	20010607	TW 1997-86110371	19970722
ES 1996-1236 A 19960604				

PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S):  
 GI



L4 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1997:675536 CAPLUS  
 DOCUMENT NUMBER: 127:318964  
 TITLE: Tricyclic azepine derivatives as platelet aggregation inhibitors  
 INVENTOR(S): Himmelsbach, Frank; Pieper, Helmut; Austel, Volkhard;  
 Linz, Guenter; Guth, Brian; Weisenberger, Johannes  
 PATENT ASSIGNER(S): Dr. Karl Thomae GmbH, Germany  
 SOURCE: Ger. Offen., 32 pp.  
 CODEN: GWYKXK  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

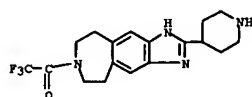
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19612376	A1	19971002	DE 1996-19612376	19960328
PRIORITY APPLN. INFO.: MARPAT 127:318964				
OTHER SOURCE(S): GI				



AB Title compds. were prepared Thus, imidazobenzazepine 1.3HCl [R = H, R1 = CH2CO2H] was obtained by treating 1 [R = CF3CO, R1 = H] with BrCH2CO2CH3 and deblocking. 1.3HCl [R = H, R1 = CH2CO2H] had an EC50 for platelet aggregation inhibition of 93 nM.

IT 197585-25-4P 197585-27-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of tricyclic azepine derivs. as platelet aggregation inhibitors)

RN 197585-25-4 CAPLUS  
 CN Imidazo[4,5-h][3]benzazepine, 1,5,6,7,8,9-hexahydro-2-(4-piperidinyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

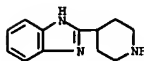


RN 197585-27-6 CAPLUS  
 CN Imidazo[4,5-h][3]benzazepine, 1,5,6,7,8,9-hexahydro-1-methyl-2-(4-piperidinyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

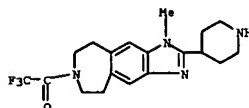
AB New benzimidazole derivs. I [R1 = H or a short chain hydrocarbon group such as Me, Et, iso-Pr, cyclopropyl, vinyl, etc.; R2 = CH2OH, CO2H, CO2R3, 4,4-dimethyl-2-oxazolinyl; R3 = short chain alkyl, such as Me, Et], which have high H1 antihistaminic and antiallergic activity and are devoid of effects on the central nervous and cardiovascular systems, were prepared Thus, 2-(4-(1-(4,4-dimethyl-2-oxazolin-2-yl)-1-methylethyl)phenyl)ethyl p-toluenesulfonate was treated with 2-(4-piperidinyl)-1H-benzimidazole to give 1 [R1 = Et, R2 = 4,4-dimethyl-2-oxazolin-2-yl] which was hydrolyzed to 1 [R1 = Et, R2 = CO2H].

IT 38385-95-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of antihistaminic and antiallergic benzimidazolylpiperidinylethylphenylacetic acid derivs.)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:672257 CAPLUS  
 DOCUMENT NUMBER: 127:318965  
 TITLE: Preparation of piperidine derivatives, their pharmaceutical compositions and their use in the treatment of hepatitis C  
 INVENTOR(S): Diana, Guy D.; Bailey, Thomas R.; Nitz, Theodore J.  
 PATENT ASSIGNEE(S): Viropharma Inc., USA  
 SOURCE: PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736554	A1	19971009	WO 1997-US2865	19970225
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5830905	A	19981103	US 1996-625718	19960329
US 6127384	A	20001003	US 1998-84538	19980526
PRIORITY APPLN. INFO.:			US 1996-625718	A 19960329
OTHER SOURCE(S):		MARPAT 127:318965		

GI

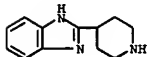
L4 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Piperidine derivs. I [R1, R2, R3, R4 = H, alkyl, halogen, OH, alkoxy, CO2H, carbalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, NH2, AcNH, sulfonamido, (di)alkylamino, NO2; W, X = alkylene, carbonyl; Y, Z = Y1, Z1; R5 = H, alkyl, acyl; R6 = H, alkyl, halogen, OH, alkoxy, CO2H, carbalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, NH2, NHAc, sulfonamido, (di)alkylamino, NO2; m = 1 - 4; R7 = H, alkyl, acyl, n = 3 - 5] are useful in prophylaxis and treatment of hepatitis C virus infections. Imidazole II was prepared from  $\alpha,\alpha'$ -dibromo-p-xylylene and Et isonipicotate via amidation of diester III with trans-1,2-diaminocyclohexane and cyclocondensation of diamide IV. II is an active antiviral showing IC50 = 7  $\mu$ M against viral helicase.

IT 38385-95-4, 4-(Benzimidazol-2-yl)piperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of piperidine derivs. and their use in the treatment of hepatitis C infections)

RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



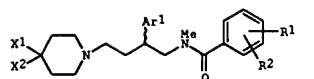
L4 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:579713 CAPLUS  
 DOCUMENT NUMBER: 127:262676  
 TITLE: Preparation of N-methyl-N-[4-(piperidin-1-yl)]-2-(aryl)butylbenzamides for the treatment of allergic diseases.  
 INVENTOR(S): Maynard, George D.; Kane, John M.; Bratton, Larry D.; Rudolecz, Elizabeth M.  
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA  
 SOURCE: PCT Int. Appl., 157 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730990	A1	19970828	WO 1997-US2239	19970127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, EG, KZ, MD, RU, TJ, TH				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, HL, MR, NE, SN, TD, TG				
CA 2246727	AA	19970828	CA 1997-2246727	19970127
CA 2246727	C	20020423		
AU 9722707	A1	19970910	AU 1997-22707	19970127
AU 709215	B2	19990826		
EP 882038	A1	19981209	EP 1997-905930	19970127
EP 882038	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1211247	A	19990317	CN 1997-192385	19970127
CN 1096460	B	20021218		
BR 9707643	A	19990727	BR 1997-7643	19970127
JP 2002504082	T2	20020205	JP 1997-530219	19970127
AT 229952	E	20030115	AT 1997-905930	19970127
ES 2184992	T3	20030416	ES 1997-905930	19970127
PT 882038	T	20030430	PT 1997-905930	19970127
IL 125577	A1	20040328	IL 1997-125577	19970127
ZA 9701413	A	19970821	ZA 1997-1413	19970219
NO 9803831	A	19981020	NO 1998-3831	19980820
HK 1018956	A1	20030829	HK 1999-104010	19990917
PRIORITY APPLN. INFO.:			US 1996-420296P	P 19960221
			US 1996-604202	A 19960221
			US 1996-771544	A 19961223
			WO 1997-US2239	W 19970127

OTHER SOURCE(S): MARPAT 127:262676  
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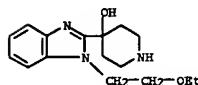
L4 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. [I; R1 = H, halo, alkyl, alkoxy; R2 = H, (substituted) tetrazolyl, 1,2,4-triazolyl; Ar1 = (substituted) Ph, naphthyl, pyridyl, thienyl; X1 = H; X2 = (substituted) benzothiazolyl-2-carbonyl, benzimidazol-2-ylcarbonyl, benzimidazolyl, diphenylmethyl], are claimed, as is their use for treatment of allergic rhinitis, asthma, emesis, and inflammatory bowel disease (no data).

IT 178372-40-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of N-methyl-N-[4-(piperidin-1-yl)]-2-(aryl)butylbenzamides for the treatment of allergic diseases)

RN 178372-40-2 CAPLUS  
 CN 4-Piperidinol, 4-[1-(2-ethoxyethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:344806 CAPLUS

DOCUMENT NUMBER: 127:34133

TITLE: Heterocycloalkoxyalkanamines having effects on serotonin-related systems

INVENTOR(S): Audia, James E.; Hibschnan, David J.; Krushinski, Joseph H., Jr.; Mabry, Thomas E.; Mussen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627196	A	19970506	US 1995-468948	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111
PRIORITY APPLN. INFO.:			US 1995-373823	B2 19950117
OTHER SOURCE(S):		MARPAT 127:34133		

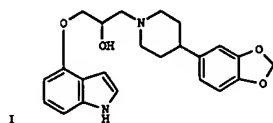
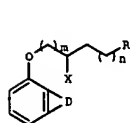
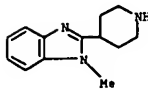
GI

L4 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(starting material; prepn. of heterocycloalkoxyalkanamines as serotonin 1A antagonists and reuptake inhibitors)

RN 180160-86-5 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



AB A series of heterocycloalkoxy-substituted alkanamines I ( $m = 0-4$ ;  $n = 0-1$ ; D = atoms to complete fused pyrrolo, imidazolo, pyrido, pyrazino, pyridazino, or pyrimido nucleus; X = H, Ph, OH, OMe; X = H or Ph when  $r = 0$ ; R = (un)substituted piperidino, piperazino, piperidinylamino, piperazinoamino, morpholinoamino, certain spirocyclic amino substituents, etc.) are effective pharmaceuticals for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor (no data). Some I show a unique combination of 5-HT1A receptor activity and serotonin reuptake inhibition. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression and other conditions for which serotonin reuptake inhibitors are used. Over 200 synthetic examples and 7 standard formulation examples are given. For instance, reaction of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-(3,4-methylenedioxyphenyl)piperidine gave a preferred title compound, II, isolated as the oxalate in 71% overall yield.

IT 180160-86-5  
RL: RCT (Reactant); RACT (Reactant or reagent)

L4 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:260110 CAPLUS

DOCUMENT NUMBER: 126:305591

TITLE: Preparation of heteroaryloxy alkanamines having effects on serotonin-related systems

INVENTOR(S): Audia, James E.; Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 373,823, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614523	A	19970325	US 1995-470512	19950606
CN 1178530	A	19980408	CN 1996-192598	19960111
PRIORITY APPLN. INFO.:			US 1995-373823	B2 19950117
OTHER SOURCE(S):		MARPAT 126:305591		

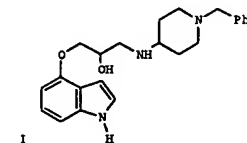
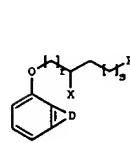
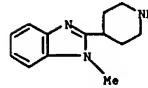
GI

L4 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(serotonin-related systems)

RN 180160-86-5 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



AB The title compds. [I;  $r = 0-4$ ;  $s = 0-1$ ; D = a residue which combines with the carbon atoms to which it is attached to complete a pyrrolyl group; X = H, Ph, OH, MeO; R = (un)substituted piperazino, piperidino, etc.], useful for the treatment of conditions related to or affected by the reuptake of serotonin and by the serotonin 1A receptor, were prepared and formulated. Thus, refluxing of (S)-(+)-4-(oxiranylmethoxy)-1H-indole with 4-amino-1-benzylpiperidine in MeOH afforded 78% (2S)-(-)-II. Compds. I are effective at 20-25 mg/day when administered to a patient in need of or carrying out a reduction or cessation of tobacco or nicotine use. Compds. I are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disorder, panic disorder, migraine, pain, bulimia, premenstrual syndrome, late luteal syndrome, alcoholism, dementia of aging, social phobia, attention deficit hyperactivity disorder, impulsive control disorders, chronic fatigue syndrome, premature ejaculation, anorexia nervosa, and autism.

IT 180160-86-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of heteroaryloxy alkanamines having effects on

&lt;1/13/2006&gt;

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L4 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:15489 CAPLUS

DOCUMENT NUMBER: 126:74755

TITLE: Preparation and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands

INVENTOR(S): Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 383,823, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

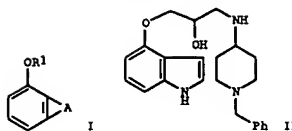
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5576321	A	19961119	US 1995-468900	19950606
CA 2210220	AA	19960725	CA 1996-2210220	19960111
WO 9622290	A1	19960725	WO 1996-US41	19960111
W: AL, AM, AU, AZ, BE, BG, BR, BY, CA, CN, CZ, DE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9646516	A1	19960807	AU 1996-46516	19960111
AU 718875	B2	20000420		
BR 9607077	A	19971118	BR 1996-7077	19960111
CN 1178530	A	19980408	CN 1996-192598	19960111
JP 10512861	T2	19981208	JP 1996-522282	19960111
EP 722941	A2	19960724	EP 1996-300286	19960115
EP 722941	A3	20000412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
NO 9703281	A	19970908	NO 1997-3281	19970715
FI 9703024	A	19970716	FI 1997-3024	19970716
US 1995-373823 B2 19950117				
US 1995-468900 A 19950606				
WO 1996-US41 W 19960111				

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 126:74755

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L4 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. [I; A = atoms to complete an N-containing heterocyclic ring; R1

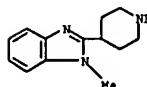
= (CH2)rCH2CH2(CH2)sR; R = alkylamino, azinylamino, N-attached heterocyclyl, etc.; R2 = H, OH, OMe, Ph; r = 0-4; s = 0-1] were prepared as 5-HT1A receptor ligands (no data). Thus, (S)-4-oxiranylmethoxy-1H-indole was aminated by 4-amino-1-benzylpiperidine to give title compound (S)-II.

180160-86-5

IT RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands)

RN 180160-86-5 CAPLUS

CH 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:509758 CAPLUS

DOCUMENT NUMBER: 125:168021

TITLE: Preparation of 3-(4-indolylxy)-2-hydroxypropanamines as serotonin 1A receptor antagonists and partial agonists

INVENTOR(S): Audia, James E.; Hibeckman, David J.; Krushinski, Jr. Joseph H.; Mabry, Thomas E.; Nissen, Jeffrey S.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.; Wong, David T.

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl., 112 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 722941	A2	19960724	EP 1996-300286	19960115
EP 722941	A3	20000412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5576321	A	19961119	US 1995-468900	19950606
US 1995-373823 A 19950117				
US 1995-468900 A 19950606				

PRIORITY APPLN. INFO.:

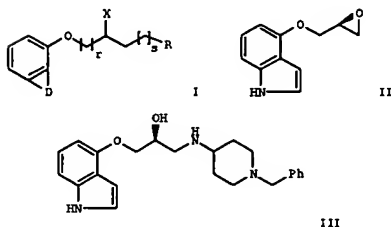
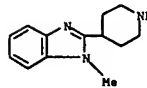
OTHER SOURCE(S): MARPAT 125:168021

GI

L4 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 180160-86-5 CAPLUS

CH 1H-Benzimidazole, 1-methyl-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



AB The title compds. [I; r = 0-4; s = 0-1; D = pyrrolo, imidazo, etc.; X = H, Ph; A = piperazino, piperidinyl, morpholino, etc.], useful for alleviating the symptoms of nicotine and tobacco withdrawal, and for the treatment of depression, anxiety, hypertension, etc., were prepared and formulated. Thus, refluxing of indole II with 4-amino-1-benzylpiperidine in MeOH for 18 h afforded 78% desired product III. In general, compds. I are effective at 20-25 mg/day.

IT RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 3-(4-indolylxy)-2-hydroxypropanamines as serotonin 1A receptor antagonists and partial agonists)

&lt;1/13/2006&gt;

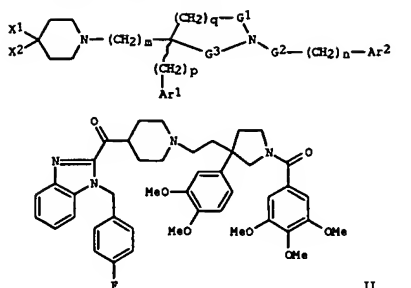
Habte



L4 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1996:404635 CAPLUS  
 DOCUMENT NUMBER: 125:114615  
 TITLE: 1-Benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivatives and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases  
 INVENTOR(S): Burkholder, Timothy P.; Bratton, Larry D.; Kudlacz, Elizabeth M.; Maynard, George D.; Kane, John M.; Santiago, Braulio  
 PATENT ASSIGNER(S): Merrell Dow Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 294 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9606094	A1	19960229	WO 1995-US10640	19950817
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MV, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KB, KW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, CA, CN, ML, MR, NE, SN, TD, TG				
CA 2198084	AA	19960229	CA 1995-2198084	19950817
CA 2198084	C	20000328		
AU 9534928	A1	19960314	AU 1995-34928	19950817
AU 693936	B2	19980709		
EP 777666	A1	19970611	EP 1995-931551	19950817
EP 777666	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1158612	A	19970903	CN 1995-195283	19950817
CN 1067385	B	20010620		
HU 76644	A2	19971028	HU 1997-1257	19950817
HU 221434	B	20021028		
JP 10504580	T2	19980506	JP 1996-508257	19950817
AT 177095	E	19990315	AT 1995-931551	19950817
ES 2132709	T3	19990816	ES 1995-931551	19950817
ZA 9507033	A	19960416	ZA 1995-7033	19950822
IL 115040	A1	20000229	IL 1995-115040	19950823
TW 430663	B	20010421	TW 1995-84108797	19950823
FI 9700771	A	19970224	FI 1997-771	19970224
FI 114470	B1	20041029		
NO 9700831	A	19970418	NO 1997-831	19970224
NO 313237	B1	20020902		
PRIORITY APPL. INFO.:			US 1994-295960	A 19940825
			US 1995-501914	A 19950713
			WO 1995-US10640	W 19950817
OTHER SOURCE(S):		MARPAT 125:114615		
GI				

L4 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The present invention relates to novel substituted piperidine derivs. I wherein: G1 is CH2 or CO; G2 is CH2 or CO; G3 is CH2 or CO; m is 2 or 3; n is 0 or 1; q is 1 or 2; p is 0 or 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thienyl; Ar2 = (un)substituted Ph, pyridyl; X1 and X2 are defined in one of (A), (B), or (C): (A) X1 = H and X2 = substituted benzothiazole-2-carbonyl, diphenylmethyl, benzimidazolyl-2-carbonyl; (B) X1 = OH and X2 = substituted benzothiazol-2-yl, benzimidazol-2-yl; (C) X2 = (R5C6H4)C(21)(C6H4R6) wherein R5, R6 = from 1 to 3 substituents chosen independently from, e.g., H, halo, CF3, and X1 and 21 taken together form a second bond between the carbon atoms bearing X1 and 21; provided then when G1 is CO, then G2 and G3 are CH2, and that when G2 is CO, then G1 and G3 are CH2, and that when G3 is CO, then G1 and G2 are CH2; stereoisomers thereof, and pharmaceutically acceptable salts thereof which are useful as histamine receptor antagonists and tachykinin receptor antagonists. Such antagonists are useful in the treatment of allergic diseases including: seasonal rhinitis, allergic rhinitis, and sinusitis. Thus, e.g., substitution reaction of 4-[1-(4-fluorobenzyl)-1H-benzimidazole-2-carbonyl]piperidine with 1-(3,4,5-trimethoxybenzoyl)-3-(3,4-dimethoxyphenyl)-3-(2-methanesulfonyloxyethyl)pyrrolidine (preparation given) afforded II which exhibited H1 receptor antagonism in vitro with pA2 = 7.50, and NK1 receptor binding affinity with IC50 = 31 nM.

II

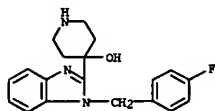
IT 178370-57-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (1-benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)

RN 178370-57-5 CAPLUS

CN 4-Piperidinol, 4-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

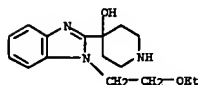


IT 178372-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (1-benzoyl-3-[2-[4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl]ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)

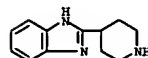
RN 178372-40-2 CAPLUS

CN 4-Piperidinol, 4-[1-(2-ethoxyethyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:658478 CAPLUS  
 DOCUMENT NUMBER: 124:8747  
 TITLE: Synthesis and structure-activity relationship of new piperidinyl and piperazinyl derivatives as antiallergics  
 AUTHOR(S): Orjales, Aurelio; Bordell, Maravillas; Rubio, Victor  
 CORPORATE SOURCE: Research Department, PAIS S.A., Bilbao, 48080, Spain  
 SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 707-18  
 CODEN: JHICAD; ISSN: 0022-152X  
 PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of piperazinebenzothiazoles, piperazinebenzimidazoles, piperidinobenzothiazoles, piperidinobenzimidazoles and piperidinobenzimidazoles has been synthesized and their antiallergic activity evaluated by means of the passive cutaneous anaphylaxis (PCA) assay. Structure-activity relationships are discussed and related to classical antihistaminics. Piperidine derivs. with an aryl group linked to the nitrogen atom by an Et chain are the most active compds., with ID50 < 1 mg/kg po. Some of these compds. are more potent antiallergics than astemizole and terfenadine.  
 IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and structure-activity relationship of antiallergic benzimidazole benzoxazole and benzothiazole derivs.)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:508752 CAPLUS

DOCUMENT NUMBER: 113:108752

TITLE: Quantitative structure-activity relationships of H1-antihistaminic benzimidazole derivatives (Erratum to document cited in CA111(5):33121d)

AUTHOR(S): Iemura, Ryuichi; Ohtaka, Hiroshi

CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(6), 1801

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

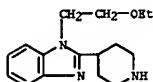
AB Errors in Table I have been corrected. The errors were not reflected in the abstract or the index entries.

IT 110963-63-8

RL: PRP (Properties)  
(antihistaminic activity and side effects of, structure in relation to (Erratum))

RN 110963-63-8 CAPLUS

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:433121 CAPLUS

DOCUMENT NUMBER: 111:33121

TITLE: Quantitative structure-activity relationships of H1-antihistaminic benzimidazole derivatives

AUTHOR(S): Iemura, Ryuichi; Ohtaka, Hiroshi

CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan

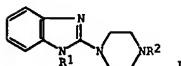
SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(4), 967-72

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



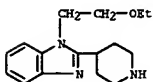
AB The QSAR considerations of 2-(4-substituted-1-piperazinyl)benzimidazole derivs. (I, R1 = Me, Ph, CH2Ph etc.; R2 = H, Me, CH2Ph etc.) for antihistaminic activity were examined. Taking into consideration the specific conformations of some derivs., a significant correlation was obtained by using Verloop's STERIMOL parameters B3 and L of the substituent at the 1-position of the benzimidazole nucleus. The results indicated that the derivs. having a substituent with a small breadth and an appropriate length at the 1-position had potent activity. From the results, a model of the binding site is proposed. The QSAR considerations of side effects (anticholinergic activity and central nervous system depressive effect) were also examined and the results showed that a sterically small substituent at the 1-position was required to decrease side effects.

IT 110963-63-8

RL: PRP (Properties)  
(antihistaminic activity and side effects of, structure in relation to)

RN 110963-63-8 CAPLUS

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:632675 CAPLUS

DOCUMENT NUMBER: 111:232675

TITLE: Synthesis of some benzimidazole-, pyridine-, and imidazole-derived chelating agents

AUTHOR(S): Wahlgren, Curtis G.; Addison, Anthony W.

CORPORATE SOURCE: Chem. Dep., Drexel Univ., Philadelphia, PA, 19104, USA

SOURCE: Journal of Heterocyclic Chemistry (1989), 26(3), 541-3

CODEN: JHCTAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:232675

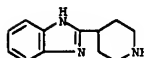
AB Procedures are described for the preparation of various bidentate and potentially tridentate chelating agents. These incorporate pyridyl, benzimidazole, imidazole, or phenolic moieties. Phillips condensations of carboxylic acids with o-phenylenediamines were carried out in 4 M HCl. Syntheses are reported for 2,6-bis(N-methylimidazol-2'-ylthiomethyl)pyridine, 2,6-bis(benzimidazol-2'-ylthiomethyl)pyridine, 2-(4-piperidyl)benzimidazole, 2-(3-piperidyl)benzimidazole, 2-(3'-N-methylpiperidyl)benzimidazole, 2-(3-N-methylpiperidyl)-N-methylbenzimidazole, 2-(2-hydroxybenzyl)benzimidazole and 2-(2-hydroxybenzyl)-N-methylbenzimidazole. The compds. were characterized where appropriate by their mass, UV, and 1H-NMR spectra. 2-(2-Hydroxybenzyl)benzimidazole hydrochloride acts as a gelling agent in aqueous solution.

IT 38385-95-49

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 38385-95-4 CAPLUS

CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:400133 CAPLUS

DOCUMENT NUMBER: 111:133

TITLE: Automated pre-column high-performance liquid chromatographic method for the investigation of adibendan metabolism

AUTHOR(S): Neubert, Peter; Hoelck, Jens Peter

CORPORATE SOURCE: Bioanal. Dep., Boehringer Mannheim G.m.b.H., Mannheim, D-6800/31, Fed. Rep. Ger.

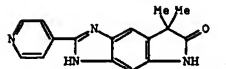
SOURCE: Journal of Chromatography (1989), 490(1), 155-64

CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB An automated pre-column high-performance liquid chromatog. method has been developed for the isolation of adibendan (I) and its metabolites from biol. fluids and for their simultaneous quant. assay. High sensitivities were obtained by the use of a multiple-injection device allowing solid-phase extraction from several successive sample injections with enrichment of metabolite traces on the pre-column. Two metabolites in dog urine were identified as N-oxypyridine (M1) and 2-hydroxypyridine (M2) derivs. of adibendan, while the structure of M3 is still unknown. M1 and M2 also are metabolites in rats, rabbits and humans, and contribute to cardiovascular efficacy. The metabolic profiles were determined in plasma, urine, and bile, as a function of dose, route of administration, and sex, using radioactivity and UV detection of the eluates.

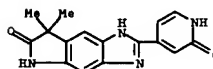
IT 100510-37-0, RM 140518

RL: ANT (Analyte); ANST (Analytical study)

(determination of, as adibendan metabolite, by HPLC, in humans and laboratory animals)

RN 100510-37-0 CAPLUS

CN Pyrolo[2,3-f]benzimidazol-6(1H)-one, 2-(1,2-dihydro-2-oxo-4-pyridinyl)-5,7-dihydro-7,7-dimethyl- (9CI) (CA INDEX NAME)

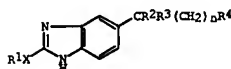


L4 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:437822 CAPLUS  
 DOCUMENT NUMBER: 109:37822  
 TITLE: Preparation of (hetero)arylalkylbenzimidazoles as cardiovascular agents  
 INVENTOR(S): Von der Saal, Wolfgang; Hoelck, Jens-Peter; Mertens, Alfred; Mueller-Beckmann, Bernd; Kling, Lothar  
 PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 17 pp.  
 CODEN: GWXXEX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3634066	A1	19880421	DE 1986-3634066	19861007
EP 266558	A2	19880511	EP 1987-114316	19871001
EP 266558	A3	19890809		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 8704388	A	19880408	FI 1987-4388	19871006
JP 63096174	A2	19880427	JP 1987-250837	19871006
HU 45510	A2	19880728	HU 1987-4488	19871006
DD 270304	A5	19890726	DD 1987-307710	19871006
US 4882342	A	19891121	US 1987-106413	19871006
PRIORITY APPL. INFO.: DE 1986-3634066			A 19861007	
OTHER SOURCE(S): CASREACT 109:37822; MARPAT 109:37822				

GI



I

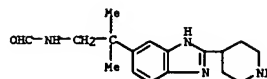
AB The title compds. [I; R1 = (substituted) Ph, 5- or 6-membered (substituted) heterocyclyl; R2,R3 = H, alkyl; R2R3C = carbocyclic ring; R4 = cyano, (substituted) carbamoyl, hydrazinocarbonyl; X = bond, alkylene, vinylene, NH; n = 0-5] were prepared as cardiovascular agents (no data). 4-(2-Cyanoprop-2-yl)aniline was successively acetylated, reduced with H2/Raney Ni/NH3, acetylated, nitrated, and partially hydrolyzed with KOH in MeOH to give 4-[2-(acetamidomethyl)prop-2-yl]-2-nitroaniline, which was hydrogenated over Pd/C and cyclocondensed with isonicotinoyl chloride.HCl in CH2Cl2 containing Et3N to give 5-[2-(aminomethyl)prop-2-yl]-2-(4-pyridyl)benzimidazole.

IT 115279-54-4p  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as cardiovascular agent)

RN 115279-54-4 CAPLUS  
 CN Formamide, N-[2-methyl-2-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]propyl]-

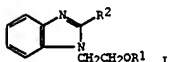
L4 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(9CI) (CA INDEX NAME)



L4 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:598170 CAPLUS  
 DOCUMENT NUMBER: 107:198170  
 TITLE: Synthesis of benzimidazole derivatives as potential H1-antihistaminic agents  
 AUTHOR(S): Iemura, Ryuchi; Kawashima, Tsuneo; Fukuda, Toshikazu; Ito, Keizo; Tsukamoto, Goro  
 CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan  
 SOURCE: Journal of Heterocyclic Chemistry (1987), 24(1), 31-7  
 CODEN: JHCCAD; ISSN: 0022-152X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:198170  
 GI



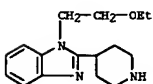
I

AB Disubstituted benzimidazoles I (R1 = alkyl, vinyl, allyl, propargyl, Ph; R2 = e-aminoalkylamino, or 4-piperidinylamino, 4-piperidinyl, N-piperazinylmethyl, or a N-homopiperazinylmethyl group) were prepared by different methods. I exhibited H1 antihistaminic activity.

IT 110963-64-9p  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antihistaminic activity of)

RN 110963-64-9 CAPLUS  
 CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1  
 CRN 110963-63-8  
 CMF C16 H23 N3 O

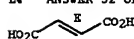


CM 2

CRN 110-17-8  
 CMF C4 H4 O4

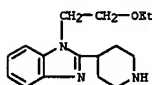
Double bond geometry as shown.

L4 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

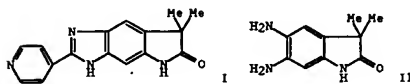


IT 110963-63-8p  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, fumarate salt formation, and antihistaminic activity of)

RN 110963-63-8 CAPLUS  
 CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1987:515534 CAPLUS  
 DOCUMENT NUMBER: 107:115534  
 TITLE: Nonsteroidal cardiotonics. 1. 2-Pyridyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones, a novel class of cardiotonic agents  
 AUTHOR(S): Mertens, A.; Mueller-Beckmann, B.; Kampe, W.; Hoelck, J. P.; Von der Saal, W.  
 CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.  
 SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1279-87  
 CODEN: JMCMAJ; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:115534  
 GI

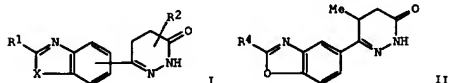


AB A series of 24 substituted pyridylidihydropyrrolobenzimidazolones, e.g., I, were synthesized and evaluated for pos. inotropic activity. Thus, cyclocondensation of diaminodimethylindolinone II with 4-pyridinecarboxylic acid in polyphosphoric acid gave I. In rats, cats, and dogs most of these tricyclic heterocycles produced a dose-related increase in myocardial contractility with little effect on heart rate and blood pressure. The increase in contractility was not mediated via stimulation of  $\beta$ -adrenergic receptors. Compound I was more potent than milrinone and enoximone when administered i.v. to rats, cats, and dogs. After oral administration of 1 mg/kg, I, milrinone, and pimobendan were equipotent. However, only I and pimobendan were still active after 6 h. The structural requirements necessary for optimal cardiotonic activity within this novel class of heterocycles were investigated.  
 IT 100510-37-0W  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and cardiotonic activity of)  
 RN 100510-37-0 CAPLUS  
 CN Pyrrolo[2,3-f]benzimidazol-6(1H)-one, 2-(1,2-dihydro-2-oxo-4-pyridinyl)-5,7-dihydro-7,7-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1987:18589 CAPLUS  
 DOCUMENT NUMBER: 106:18589  
 TITLE: Pyridazinones, their use as cardiovascular agents and their formulations  
 INVENTOR(S): Haeufel, Norbert; Narr, Berthold; Noll, Klaus; Bombard, Andreas; Heider, Joachim; Psiorz, Manfred; Diederer, Willi; Van Meel, Jacques  
 PATENT ASSIGNEE(S): Thomas, Dr. Karl, G.m.b.H., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 40 pp.  
 CODEN: GWXXEX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:  

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3511110	A1	19861002	DE 1985-3511110	19850327
EP 196005	A1	19861001	EP 1986-103687	19860318
EP 196005	B1	19891220		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 48841	E	19900115	AT 1986-103687	19860318
DK 8601318	A	19860928	DK 1986-1318	19860321
DD 248962	A5	19870805	DD 1986-288285	19860325
CA 1257588	A1	19890718	CA 1986-505012	19860325
FI 8601288	A	19860928	FI 1986-1288	19860326
NO 8601266	A	19860928	NO 1986-1266	19860326
AU 8655303	A1	19861002	AU 1986-55303	19860326
JP 61227582	A2	19861009	JP 1986-68255	19860326
ES 553463	A1	19870516	ES 1986-553463	19860326
HU 42085	A2	19870629	HU 1986-1275	19860326
ZA 8602248	A	19871125	ZA 1986-2248	19860326
ES 557218	A1	19870516	ES 1986-557218	19861121
ES 557219	A1	19870516	ES 1986-557219	19861121
ES 557220	A1	19870516	ES 1986-557220	19861121
PRIORITY APPLN. INFO.:			DE 1985-3511110	A 19850327
			EP 1986-103687	A 19860318

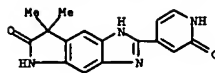
 OTHER SOURCE(S): CASREACT 106:18589  
 GI



AB Title compds. I (X = NR3, O, S; R1 = N-containing heterocyclyl; R2 = H, alkyl; R3 = H, alkyl, Ph), useful for treatment of angina, heart failure, high blood pressure, and for prophylaxis of thromboembolisms, were prepared. Benzoxazolopyridazinone II (R4 = 5H) reacted with imidazole to give 31.48 II (R4 = imidazol-1-yl) (III). In cats 0.1 mg III/kg i.v. decreased blood pressure 43-45 mm Hg. Tablets were prepared each containing  
 III 50.0, lactose 40.0, corn starch 17.0, polyvinylpyrrolidone 2.0, Mg  
 <1/13/2006>

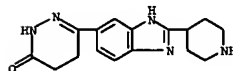
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L4 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



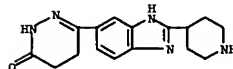
L4 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

stearate 1.0 mg.  
 IT 105737-59-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as cardiovascular agent)  
 RN 105737-59-5 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

IT 105737-54-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acetylation of)  
 RN 105737-54-0 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[2-(4-piperidinyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:207267 CAPLUS

DOCUMENT NUMBER: 104:207267

TITLE: Pyrrolobenzimidazoles, medicaments containing them, and intermediates  
 INVENTOR(S): Hoelck, Jens Peter; Mertens, Alfred; Kamps, Wolfgang; Mueller-Beckmann, Bernd; Sponer, Gisbert; Strein, Klaus

PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 83 pp.

CODEN: EPXKXW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

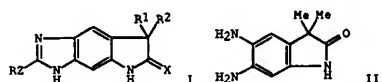
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161632	A2	19851121	EP 1985-105675	19850509
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3417643	A1	19851114	DE 1984-3417643	19840512
DE 3446417	A1	19860626	DE 1984-3446417	19841220
AT 62487	E	19910415	AT 1985-105675	19850509
CN 85103724	A	19860702	CN 1985-103724	19850517
CN 85103724	B	19880706		

PRIORITY APPL. INFO.: DE 1984-3417643 A 19840512  
 DE 1984-3446417 A 19841220  
 EP 1985-105675 A 19850509

OTHER SOURCE(S): CASREACT 104:207267

GI



AB Pyrrolo[2,3-f]benzimidazolones I [R = (un)substituted (oxido)pyridinyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, R3CO; R1R2 = alkylene, alkylidene, cycloalkylidene; R3 = alkyl, alkoxy, amino, OH, H2NNH; X = O, S; Z = alkylene, CH=CH, bond], useful in treating cardiovascular diseases (no data), were prepared. Thus, 2-NCCGH4CH2CN was methylated to give 2-NCCGH4CMe2CN which was cyclized by stirring in 90% H2SO4 to give 4,4-dimethyl-1,3(2H,4H)-isoquinolinedione. The latter was converted in 7 steps to 5,6-diamino-3,3-dimethyl-1H-indol-2(3H)-one (II) which was cyclocondensed with 4-pyridinecarbonyl chloride-HCl to give I [R = 4-pyridinyl, R1 = R2 = Me, X = O, Z = bond].

IT 100510-37-09  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1976:510092 CAPLUS

DOCUMENT NUMBER: 85:110092

TITLE: Azo dyes

INVENTOR(S): Dehnert, Johannes; Miederer, Peter

PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 23 pp.

CODEN: GWXKX

DOCUMENT TYPE: Patent

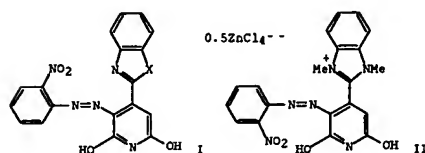
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2500064	A1	19760708	DE 1975-2500064	19750102
PRIORITY APPL. INFO.: DE 1975-2500064 A 19750102				

GI



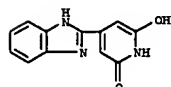
AB Monoazo compds. (I, X = NH [60270-61-3], O [60270-62-4], S [60270-63-5]) and II [60270-65-7] are fast yellow dyes for polyester and acrylic fibers, resp. Thus, o-nitroaniline [88-74-4] was diazotized and coupled with 4-(2-benzimidazolyl)-2,6-dihydroxypyridine [59117-88-3] to give I (X = NH), which was quaternized with Me2SO4 and treated with ZnCl2 to form II. The other I were similarly prepared

IT 59117-88-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(coupling of, with diazotized nitroaniline)

RN 59117-88-3 CAPLUS

CN 2(1H)-Pyridinone, 4-(1H-benzimidazol-2-yl)-6-hydroxy- (9CI) (CA INDEX NAME)



IT 60270-65-7

RL: USES (Uses)

(dye, for acrylic fibers, preparation of)

RN 60270-65-7 CAPLUS

&lt;1/13/2006&gt;

Habe

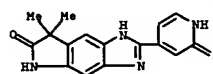
L4 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as cardiovascular agent)

RN 100510-37-0 CAPLUS

CN Pyrrolo[2,3-f]benzimidazol-6(1H)-one, 2-(1,2-dihydro-2-oxo-4-pyridinyl)-5,7-dihydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



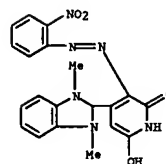
L4 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 1H-Benzimidazolium, 2-[(1,2-dihydro-6-hydroxy-3-[(2-nitrophenyl)azo]-2-oxo-4-pyridinyl)-1,3-dimethyl-, (T-4)-tetrachlorozincate(2-)] (2:1) (9CI) (CA INDEX NAME)

CH 1

CRN 60270-64-6

CHF C20 H17 N6 O4



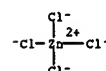
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CH 2

CRN 15201-05-5

CHF C14 Zn

CCI CCS



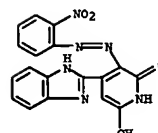
IT 60270-61-3

RL: TEM (Technical or engineered material use); USES (Uses)

(dye, for polyester fibers, preparation of)

RN 60270-61-3 CAPLUS

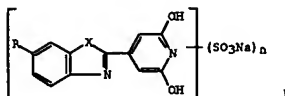
CN 2(1H)-Pyridinone, 4-(1H-benzimidazol-2-yl)-6-hydroxy-3-[(2-nitrophenyl)azo]- (9CI) (CA INDEX NAME)



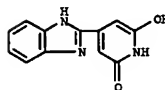
L4 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1976:166266 CAPLUS  
 DOCUMENT NUMBER: 84:166266  
 TITLE: 4-Benzazoyl pyridines  
 INVENTOR(S): Dehnert, Johannes; Miederer, Peter  
 PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 5 pp.  
 CODEN: GWXKX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2436420	A1	19760212	DE 1974-2436420	19740729
PRIORITY APPLN. INFO.:			DE 1974-2436420	A 19740729

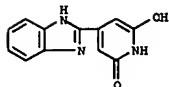


AB Azo coupling intermediates (I, R = H, Me; X = O, S, NH; n = 0, 1) were prepared by heating a mixture of citrazinic acid [99-11-6] and 3,4-(HX) (HZN) C<sub>6</sub>H<sub>3</sub>R in polyphosphoric acid at 100-150° for 5-10 hr and optionally sulfonating.  
 IT 59117-88-3P 59126-22-6P 59126-23-7P  
 RL: IMF (Industrial manufacture); PREP (Preparation)  
 (preparation of)  
 RN 59117-88-3 CAPLUS  
 CN 2(1H)-Pyridinone, 4-(1H-benzimidazol-2-yl)-6-hydroxy- (9CI) (CA INDEX NAME)



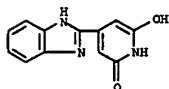
RN 59126-22-6 CAPLUS  
 CN 2(1H)-Pyridinone, 4-(1H-benzimidazol-2-yl)-6-hydroxy-, monosulfo deriv., monosodium salt (9CI) (CA INDEX NAME)

L4 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

D1-SO<sub>3</sub>H

● Na

RN 59126-23-7 CAPLUS  
 CN 2(1H)-Pyridinone, 6-hydroxy-4-(ar-methyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

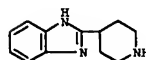


D1-Me

L4 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1974:146143 CAPLUS  
 DOCUMENT NUMBER: 80:146143  
 TITLE: 4-(Benzazol-2-yl)piperidines  
 INVENTOR(S): Zarins, P.; Levinovich, E. S.; Arens, A.; Germane, S.  
 PATENT ASSIGNEE(S): Institute of Organic Synthesis, Academy of Sciences, Latvian S.S.R.  
 SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obratsty, Tovarnye Znaki 1974, 51(8), 68.  
 CODEN: URKXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Russian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 417421	T	19740228	SU 1972-1737404	19720110
PRIORITY APPLN. INFO.:			SU 1972-1737404	A 19720110

GI For diagram(s), see printed CA issue.  
 AB Substituted piperidines (I; Z = O, S, NH) were prepared by condensing piperidinecarboxylic acid with the corresponding o-HZC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> at 220-50° in polyphosphoric acid.  
 IT 38385-95-4P  
 RL: SPH (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

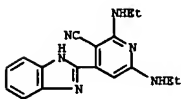


L4 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1974:108379 CAPLUS  
 DOCUMENT NUMBER: 80:108379  
 TITLE: Pyridine derivatives  
 INVENTOR(S): Fleckenstein, Erwin; Heinrich, Ernst; Mohr, Reinhard  
 PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G.  
 SOURCE: Ger. Offen., 93 pp.  
 CODEN: GWXCHX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2230392	A1	19740131	DE 1972-2230392	19720622
NL 7308294	A	19731227	NL 1973-8294	19730614
JP 49062477	A2	19740617	JP 1973-69259	19730621
BE 801342	A1	19731226	BE 1973-132637	19730622
FR 2189402	A1	19740125	FR 1973-22862	19730622
GB 1420987	A	19760114	GB 1973-29787	19730622
CH 610889	A	19790515	CH 1973-9107	19730622
US 3947463	A	19760330	US 1974-521530	19741106
US 3954782	A	19760504	US 1974-521408	19741106
US 3956294	A	19760511	US 1974-521443	19741106
US 3980659	A	19760914	US 1974-521442	19741106
US 3946024	A	19760323	US 1975-563848	19750331
FR 2330679	A1	19770603	FR 1976-16601	19760602
FR 2330679	B1	19790406		

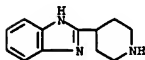
PRIORITY APPLN. INFO.:  
 DE 1972-2230392 A 19720622  
 US 1973-372024 A3 19730621

GI For diagram(s), see printed CA Issue.  
 AB Pyridine derivs. I (R and R1 = amino, alkoxy, alkylthio, CN, Cl) (642 compds.) were prepared by substitution reactions on I (R = R1 = Cl).  
 IT 51566-18-8P 51651-26-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 51566-18-8 CAPLUS  
 CN 3-Pyridinecarbonitrile, 4-(1H-benzimidazol-2-yl)-2,6-bis(ethylamino)- (9CI) (CA INDEX NAME)

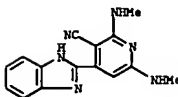


RN 51651-26-4 CAPLUS  
 CN 3-Pyridinecarbonitrile, 4-(1H-benzimidazol-2-yl)-2,6-bis(methylamino)- (9CI) (CA INDEX NAME)

L4 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1974:95805 CAPLUS  
 DOCUMENT NUMBER: 80:95805  
 TITLE: Pyridinium salts. I. Reduction of  
 4-(benzazol-2-yl)pyridinium salts in a neutral medium  
 Zarin, P.; Lavrinovich, E. S.; Arens, A.  
 CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR  
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1974), (1),  
 104-7  
 CODEN: KGSSAQ; ISSN: 0132-6244  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI For diagram(s), see printed CA Issue.  
 AB Thirty-four benzazolium salts (I; Z = O, S, NH, R = Cl-5 alkyl, PhCH2, nonyl, PhCH2CH2, PhCH:CHCH2, X = iodide, Br, Cl), prepared by known methods from the free base and an alkyl or aralkyl halide, were reduced by NaBH4 in neutral solution to give 71-99% yields of benzazoles (II; R = Cl-5 alkyl, nonyl, PhCH2, PhCH2CH2).  
 IT 38385-95-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1972:564705 CAPLUS  
 DOCUMENT NUMBER: 77:164705  
 TITLE: Analgesic and tranquilizing 2-substituted  
 benzimidazoles  
 INVENTOR(S): Helsley, Grover Cleveland  
 PATENT ASSIGNEE(S): A. H. Robins Co., Inc.  
 SOURCE: Fr. Demande, 15 pp.  
 CODEN: FROXEL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2103639	A5	19720414	FR 1971-31355	19710830
FR 2103639	B1	19750801		
GB 1354554	A	19740530	GB 1971-39662	19710824
AU 7132713	A1	19730301	AU 1971-32713	19710825
DE 2143614	A1	19730405	DE 1971-2143614	19710831

PRIORITY APPLN. INFO.:  
 US 1970-68549 A 19700831

GI For diagram(s), see printed CA Issue.  
 AB Benzimidazoles I (X = CH2, CH2CH2; R = H, Et, CH2CH2Ph, CH2CH2OPh, CH2-CH2COPh, CH2Ph, CH2CH2OC6H4OMe-o, 1,4-benzodioxan-2-ylmethyl) were prepared. Thus, 34 I (X = CH2, R = Et) was obtained by treating 3-cyano-1-ethylpyrrolidine with o-(H2N)2-C6H4 in 5 HCl, followed by aqueous NH3. Its analgesic ED50 in mice was 14.5 mg/kg.  
 IT 38385-95-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 38385-95-4 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinyl)- (9CI) (CA INDEX NAME)

